*... Sequence Text Box Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with th
*appropriate serial number.*

See claims attached. Please do structure search and inventor name(s) search. Display results to show identification of source, and R.N.#, compound name & structure of identified compounds. Search compounds of Formula I as defined for elected Group I and of Formula II

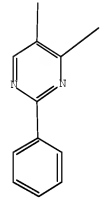
Please limit the search to claim 2. Any art the anticipates or renders obvious claim 2 will do the same for claim 1.

***** INVENTOR RESULTS *****

```
=> d his l14

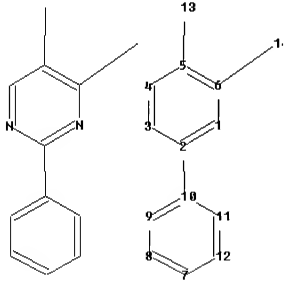
     (FILE 'HCAPLUS' ENTERED AT 11:04:34 ON 30 APR 2008)
L14            1 S ((L11-L13 AND L8)) OR (L1 AND L8)

=> d que l14
L1             1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  US2007/0293464/PN
L3               STR
```



Structure attributes must be viewed using STN Express query preparation:

Uploading L1.str




```
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12
ring/chain nodes :
13  14
chain bonds :
2-10
ring/chain bonds :
5-13  6-14
```

```
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12
exact/norm bonds :
5-13  6-14
exact bonds :
2-10
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12
isolated ring systems :
containing 1 : 7 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:CLASS  14:CLASS



L4  (     22531)SEA FILE=REGISTRY SSS FUL L3
L5              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation:

Uploading L2.str
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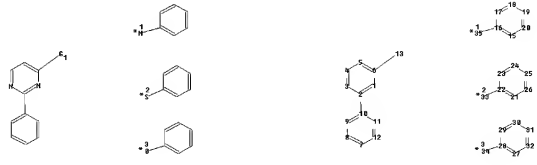

```
chain nodes :
13  33  34  35
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12  15  16  17  18  19  20  21  22  23  24  25
26  27  28  29  30  31  32
chain bonds :
2-10  6-13  16-35  22-33  28-34
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12  15-16  15-20  16-
17
17-18  18-19  19-20  21-22  21-26  22-23  23-24  24-25  25-26  27-28  27-32  28-29
29-30  30-31
31-32
exact/norm bonds :
6-13  16-35  22-33  28-34
```

```
exact bonds :
2-10
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12  15-16  15-20  16-
17
17-18  18-19  19-20  21-22  21-26  22-23  23-24  24-25  25-26  27-28  27-32  28-29
29-30  30-31
31-32
isolated ring systems :
containing 1 : 7 : 15 : 21 : 27 :


G1:[*1],[*2],[*3]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:CLASS  15:CLASS  16:Atom  17:Atom  18:Atom  19:Atom  20:Atom
21:Atom  22:Atom
23:Atom  24:Atom  25:Atom  26:Atom  27:Atom  28:Atom  29:Atom  30:Atom  31:Atom
32:Atom  33:CLASS
34:CLASS  35:CLASS




L6          367 SEA FILE=REGISTRY SUB=L4 SSS FUL L5
L7          367 SEA FILE=REGISTRY ABB=ON  PLU=ON  L6 NOT PMS/CI
L8           60 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L7
L11         137 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("MARTIN RICHARD"/AU OR
                "MARTIN RICHARD A"/AU OR "MARTIN RICHARD ALAN"/AU OR "MARTIN
                RICHARD ALEXANDER"/AU OR "MARTIN RICHARD ALVIN"/AU)
L12          64 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("MOHAN RAJU"/AU OR "MOHAN
                RAJU K"/AU OR "MOHAN RAJU M"/AU)
L13          24 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("ORDENTLICH P"/AU OR
                "ORDENTLICH PETER"/AU)
L14           1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (((L11 OR L12 OR L13) AND
                L8)) OR (L1 AND L8)


=> d his l22

     (FILE 'MEDLINE, BIOSIS, DRUGU, EMBASE, PASCAL' ENTERED AT 11:17:39 ON 30
     APR 2008)
L22           8 S L20 OR L21
                SAVE TEMP L22 JAI734MULTIN/A

     FILE 'STNGUIDE' ENTERED AT 11:19:36 ON 30 APR 2008

=> d que l22
L17         130 SEA MARTIN RICHARD/AU
L18          72 SEA MOHAN RAJU/AU
L19          37 SEA ORDENTLICH PETER/AU
L20           8 SEA L17 AND (L18 OR L19)
L21           8 SEA L18 AND L19
L22           8 SEA L20 OR L21


=> dup rem l14 l22
FILE 'HCAPLUS' ENTERED AT 11:21:08 ON 30 APR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


FILE 'MEDLINE' ENTERED AT 11:21:08 ON 30 APR 2008

FILE 'BIOSIS' ENTERED AT 11:21:08 ON 30 APR 2008


FILE 'EMBASE' ENTERED AT 11:21:08 ON 30 APR 2008


FILE 'PASCAL' ENTERED AT 11:21:08 ON 30 APR 2008

PROCESSING COMPLETED FOR L14
PROCESSING COMPLETED FOR L22
L23 4 DUP REM L14 L22 (5 DUPLICATES REMOVED)
ANSWER '1' FROM FILE HCAPLUS
ANSWERS '2-3' FROM FILE MEDLINE
ANSWER '4' FROM FILE BIOSIS

=> d l23 1 ibib abs hitstr; d l23 2-4 ibib ab

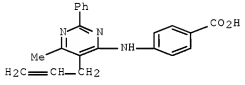
L23 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:451367 HCAPLUS Full-text
DOCUMENT NUMBER: 142:476293
TITLE: Substituted pyrimidine compositions and methods using them for the treatment of NGFI-B-related diseases
INVENTOR(S): Martin, Richard; Mohan, Raju; Ordentlich, Peter
PATENT ASSIGNEE(S): X-Ceptor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005047268 | A2 | 20050526 | WO 2004-US37642 | 20041109 |
| WO 2005047268 | A3 | 20050721 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 20070293464 | A1 | 20071220 | US 2007-595734 | 20070522 <-- |
| PRIORITY APPLN. INFO.: | | | US 2003-519030P P | 20031110 |
| | | | WO 2004-US37642 W | 20041109 |
| OTHER SOURCE(S): | MARPAT 142:476293 | | | |

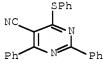
AB Compns. and methods using substituted pyrimidines are provided. The substituted pyrimidines may be used to treat diseases modulated by NGFI-B family activity.

IT 300837-31-4 320418-43-7 320418-48-2
320418-49-3 320819-79-9 338395-36-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrimidine derivs. for treatment of NGFI-B-related diseases)

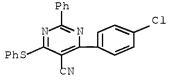
RN 300837-31-4 HCAPLUS
CN Benzoic acid, 4-[[6-methyl-2-phenyl-5-(2-propenyl)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



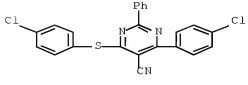
RN 320418-43-7 HCAPLUS
CN 5-Pyrimidinecarbonitrile, 2,4-diphenyl-6-(phenylthio)- (CA INDEX NAME)



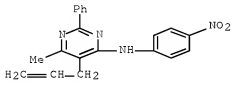
RN 320418-48-2 HCAPLUS
CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-2-phenyl-6-(phenylthio)- (CA INDEX NAME)



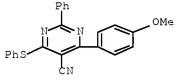
RN 320418-49-3 HCAPLUS
CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-6-[(4-chlorophenyl)thio]-2-phenyl- (CA INDEX NAME)



RN 330819-79-9 HCAPLUS
CN 4-Pyrimidinamine, 6-methyl-N-(4-nitrophenyl)-2-phenyl-5-(2-propen-1-yl)-
(CA INDEX NAME)



RN 338395-36-1 HCAPLUS
CN 5-Pyrimidinecarbonitrile, 4-(4-methoxyphenyl)-2-phenyl-6-(phenylthio)-
(CA INDEX NAME)



L23 ANSWER 2 OF 4 MEDLINE on STN DUPLICATE 1
ACCESSION NUMBER: 2004315023 MEDLINE Full-text
DOCUMENT NUMBER: PubMed ID: 15184675
TITLE: Regulation of PPARgamma coactivator lalpha (PGC-lalpha) signaling by an estrogen-related receptor alpha (ERRalpha) ligand.
AUTHOR: Willy Patricia J; Murray Ian R; Qian Jing; Busch Brett B; Stevens William C Jr; Martin Richard; Mohan Raju; Zhou Sihong; Ordentlich Peter; Wei Ping; Sapp Douglas W; Horlick Robert A; Heyman Richard A; Schulman Ira G
CORPORATE SOURCE: Department of Biology, X-Ceptor Therapeutics, Inc., San Diego, CA 92121, USA.. pwilly@x-ceptor.com
SOURCE: Proceedings of the National Academy of Sciences of the United States of America, (2004 Jun 15) Vol. 101, No. 24, pp. 8912-7. Electronic Publication: 2004-06-07.
Journal code: 7505876. ISSN: 0027-8424.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200408
ENTRY DATE: Entered STN: 26 Jun 2004
Last Updated on STN: 6 Aug 2004

Entered Medline: 5 Aug 2004

AB Peroxisome proliferator-activated receptor gamma (PPARgamma) coactivator 1alpha (PGC-1alpha) is a transcriptional coactivator that is a key component in the regulation of energy production and utilization in metabolic tissues. Recent work has identified PGC-1alpha as a strong coactivator of the orphan nuclear receptor estrogen-related receptor alpha (ERRalpha), implicating ERRalpha as a potential mediator of PGC-1alpha action. To understand the role of ERRalpha in PGC-1alpha signaling, a parallel approach of high-throughput screening and gene-expression analysis was used to identify ERRalpha small-molecule regulators and target genes. We report here the identification of a potent and selective ERRalpha inverse agonist that interferes effectively with PGC-1alpha/ERRalpha-dependent signaling. This inverse agonist inhibits the constitutive activity of ERRalpha in both biochemical and cell-based assays. Also, we demonstrate that monoamine oxidase B is an ERRalpha target gene whose expression is regulated by PGC-1alpha and ERRalpha and inhibited by the ERRalpha inverse agonist. The discovery of potent and selective ERRalpha modulators and their effect on PGC-1alpha signaling provides mechanistic insight into gene regulation by PGC-1alpha. These findings validate ERRalpha as a promising therapeutic target in the treatment of metabolic disorders, including diabetes and obesity.

L23 ANSWER 3 OF 4 MEDLINE on STN DUPLICATE 2
ACCESSION NUMBER: 2004538690 MEDLINE Full-text
DOCUMENT NUMBER: PubMed ID: 15509154
TITLE: Identification of a selective inverse agonist for the orphan nuclear receptor estrogen-related receptor alpha.
AUTHOR: Busch Brett B; Stevens William C Jr; Martin Richard ; Ordentlich Peter; Zhou Sihong; Sapp Douglas W; Horlick Robert A; Mohan Raju
CORPORATE SOURCE: Department of Medicinal Chemistry, X-Ceptor Therapeutics, Inc., 4757 Nexus Center Drive, San Diego, California 92121, USA.
SOURCE: Journal of medicinal chemistry, (2004 Nov 4) Vol. 47, No. 23, pp. 5593-6.
Journal code: 9716531. ISSN: 0022-2623.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200412
ENTRY DATE: Entered STN: 29 Oct 2004
Last Updated on STN: 24 Dec 2004
Entered Medline: 23 Dec 2004

AB The estrogen-related receptor alpha (ERRalpha) is an orphan receptor belonging to the nuclear receptor superfamily. The physiological role of ERRalpha has yet to be established primarily because of lack of a natural ligand. Herein, we describe the discovery of the first potent and selective inverse agonist of ERRalpha. Through in vitro and in vivo studies, these ligands will elucidate the endocrine signaling pathways mediated by ERRalpha including association with human disease states.

L23 ANSWER 4 OF 4 BIOSIS COPYRIGHT (c) 2008 The Thomson Corporation on STN
ACCESSION NUMBER: 2006:280493 BIOSIS Full-text
DOCUMENT NUMBER: PREV200600279166
TITLE: Sar of highly potent full-range modulators of the farnesoid X receptor.
AUTHOR(S): Flatt, Brenton T. [Reprint Author]; Kahl, Jeffrey D.; Busch, Brett B.; Boman, Erik; Liu, Amy; Ordentlich,

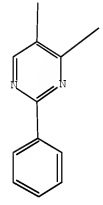
Peter; Yan, Grace; Mohan, Raju; Martin, Richard
CORPORATE SOURCE: Exelixis Inc, Dept Chem, San Diego, CA 92121 USA
bflatt@exelixis.com
SOURCE: Abstracts of Papers American Chemical Society, (MAR 13 2005) Vol. 229, No. Part 2, pp. U142-U143.
Meeting Info.: 229th National Meeting of the American-Chemical-Society. San Diego, CA, USA. March 13 -17, 2005. Amer Chem Soc.
CODEN: ACSRAL. ISSN: 0065-7727.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 24 May 2006
Last Updated on STN: 24 May 2006

***** QUERY RESULTS *****

```
=> d his l15

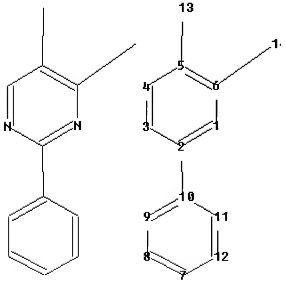
     (FILE 'HCAPLUS' ENTERED AT 11:04:34 ON 30 APR 2008)
L15           59 S L8 NOT L14

=> d que l15
L1             1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  US20070293464/PN
L3               STR
```



Structure attributes must be viewed using STN Express query preparation:

Uploading L1.str




```
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12
ring/chain nodes :
13  14
chain bonds :
2-10
```

ring/chain bonds :
5-13 6-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
5-13 6-14
exact bonds :
2-10
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
containing 1 : 7 :

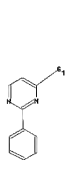
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS

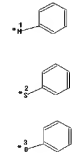
L4 ( 22531)SEA FILE=REGISTRY SSS FUL L3
L5 STR

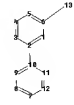
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

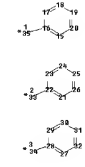
Structure attributes must be viewed using STN Express query preparation:

Uploading L2.str









chain nodes :
13 33 34 35
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 15 16 17 18 19 20 21 22 23 24 25
26 27 28 29 30 31 32
chain bonds :
2-10 6-13 16-35 22-33 28-34
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 15-16 15-20 16-
17
17-18 18-19 19-20 21-22 21-26 22-23 23-24 24-25 25-26 27-28 27-32 28-29
29-30 30-31
31-32

```
exact/norm bonds :
6-13  16-35  22-33  28-34
exact bonds :
2-10
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12  15-16  15-20  16-
17
17-18  18-19  19-20  21-22  21-26  22-23  23-24  24-25  25-26  27-28  27-32  28-29
29-30  30-31
31-32
isolated ring systems :
containing 1 : 7 : 15 : 21 : 27 :


G1:[*1],[*2],[*3]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:CLASS  15:CLASS  16:Atom  17:Atom  18:Atom  19:Atom  20:Atom
21:Atom  22:Atom
23:Atom  24:Atom  25:Atom  26:Atom  27:Atom  28:Atom  29:Atom  30:Atom  31:Atom
32:Atom  33:CLASS
34:CLASS  35:CLASS




L6          367 SEA FILE=REGISTRY SUB=L4 SSS FUL L5
L7          367 SEA FILE=REGISTRY ABB=ON  PLU=ON  L6 NOT PMS/CI
L8           60 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L7
L11         137 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("MARTIN RICHARD"/AU OR
                "MARTIN RICHARD A"/AU OR "MARTIN RICHARD ALAN"/AU OR "MARTIN
                RICHARD ALEXANDER"/AU OR "MARTIN RICHARD ALVIN"/AU)
L12          64 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("MOHAN RAJU"/AU OR "MOHAN
                RAJU K"/AU OR "MOHAN RAJU M"/AU)
L13          24 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("ORDENTLICH P"/AU OR
                "ORDENTLICH PETER"/AU)
L14           1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (((L11 OR L12 OR L13) AND
                L8)) OR (L1 AND L8)
L15          59 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L8 NOT L14


=> d l15 ibib ed abs fhitstr hitind 1-59

L15  ANSWER 1 OF 59  HCAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2008:511151  HCAPLUS  Full-text
TITLE:                    Preparation of novel derivative of pyrimidine with
                          immunotropic activity
INVENTOR(S):              Cieplik, Jerzy; Zimecki, Michal
PATENT ASSIGNEE(S):       Akademia Medyczna im.Piastow Slaskich we Wroclawiu,
                          Pol.
SOURCE:                   Pol., 4pp.
                          CODEN: POXXA7
DOCUMENT TYPE:            Patent
LANGUAGE:                 Polish
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
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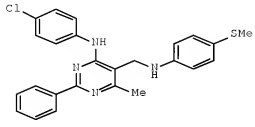
PL 194083 B1 20070430 PL 2001-346327 20010306
PRIORITY APPLN. INFO.: PL 2001-346327 20010306
ED Entered STN: 28 Apr 2008
GI

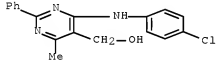


I

AB The title compound I was prepared by treating 2-phenyl-4-(4'-chlorophenylamino)-6-methyl-5-hydroxymethylpyrimidine with thionyl chloride followed by condensing the resulting 2-phenyl-4-(4'- chlorophenylamino)-6-methyl-5-chloromethylpyrimidine with p-S-methylphenylamine in a solvent such as benzene, chloroform or THF. New compound I was tested in model of humoral immunity response in mice and showed similar activity as Levamizole at dose 10 µg/mouse and 100 µg/mouse.

IT 154957-61-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of novel derivative of pyrimidine with immunotropic activity)

RN 154957-61-6 HCAPLUS
CN 5-Pyrimidinemethanol, 4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 104-96-1, 4-(Methylthio)phenylamine 154957-61-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of novel derivative of pyrimidine with immunotropic activity)

IT 164926-93-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel derivative of pyrimidine with immunotropic activity)

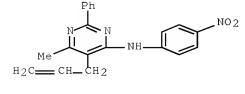
L15 ANSWER 2 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1023400 HCAPLUS Full-text
DOCUMENT NUMBER: 147:357124
TITLE: Use of inhibitors of scavenger receptor class proteins for the treatment of infectious diseases
INVENTOR(S): Hannus, Michael; Martin, Cecilie; Mota, Maria M.; Prudencio, Miguel; Rodrigues, Christina Dias

PATENT ASSIGNEE(S): Cenix Bioscience G.m.b.H., Germany; Instituto de Medicina Molecular, Faculdade de Medicina da Universidade de Lisboa
SOURCE: PCT Int. Appl., 127pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2007101710 | A1 | 20070913 | WO 2007-EP2110 | 20070309 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| EP 1832283 | A1 | 20070912 | EP 2006-4854 | 20060309 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU | | | | |

PRIORITY APPLN. INFO.: EP 2006-4854 A 20060309
US 2006-780567P P 20060309

OTHER SOURCE(S): MARPAT 147:357124
ED Entered STN: 13 Sep 2007
AB The invention relates to the use of inhibitors of scavenger receptor class proteins, in particular ScarB1 for the production of a medicament for treatment of and/or prophylaxis against infections, involving liver cells and/or hematopoietic cells, in particular malaria. Administration of ezetimibe to mice injected with Plasmodium berghei significantly reduced liver infection rate. Small interfering RNAs targeting ScarB1 reduced EEF (Exo-Erythrocytic Form) development in human hepatoma cells infected with Plasmodium berghei sporozoites.
IT 330819-79-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of inhibitors of scavenger receptor class proteins for treatment of infectious diseases)
RN 330819-79-9 HCAPLUS
CN 4-Pyrimidinamine, 6-methyl-N-(4-nitrophenyl)-2-phenyl-5-(2-propen-1-yl)- (CA INDEX NAME)



CC 1-5 (Pharmacology)
Section cross-reference(s): 3, 63

IT 50-63-5, Chloroquine-phosphate 58-14-0, Pyrimethamine 79-19-6, Hydrazinecarbothioamide 130-95-0D, Chinine, alkaloid 500-92-5, Proguanil 536-20-9, 2,4,6-Pyridinetricarboxylic acid 563-41-7 564-25-0, Doxycycline 747-36-4, Hydroxychloroquinesulfate 946-13-4 1151-31-1 1521-23-9 2697-61-2 3426-65-1 3440-28-6 4365-60-0 4381-88-8 5102-18-1 5118-80-9 5165-45-7 10102-94-0 10286-90-5 13721-16-9 16769-49-6 18015-03-7 18265-72-0 19258-27-6 24834-68-2 27043-39-6D, Aminopyrimidine, derivs. 31436-27-8 33350-83-3 34326-53-9 34600-41-4 34812-69-6 35128-95-1 35458-31-2 36800-78-9 53230-10-7, Mefloquine 53305-35-4 53691-91-1 54258-41-2, 1,10-Phenanthrolin-5-amine 56324-61-9 58039-06-8 58136-76-8 62941-10-0 64741-15-7 66121-84-4 67727-65-5 71963-77-4, Artemether 75460-28-5 76492-71-2 77373-46-7 77540-80-8 82186-77-4, Lumefantrine 82859-76-5 88704-72-7 89143-27-1 89159-65-9 90429-57-5 92884-66-7 94678-96-3 95233-18-4, Atovaquone 95557-97-4 96749-32-5 100615-32-5 101733-97-5 102355-48-6 109567-63-7 109940-24-1 112117-88-1 113697-57-7 119512-15-1 123044-10-0 132214-10-9 136402-19-2 136402-20-5 140439-98-1 149225-69-4 163222-33-1 164355-96-8 164355-99-1 169673-73-8 172986-02-6 173601-65-5 183486-59-1 200279-30-7 202190-83-8 202202-36-6 203574-13-4 219529-38-1 220194-89-8 251917-79-0 255876-92-7 272437-84-0 288161-40-0 290829-51-5 293323-99-6 293765-11-4 293765-96-5 294654-81-2 294669-13-9 296265-02-6 298217-72-8 298219-68-8 298705-55-2 300667-82-7 300670-54-6 300708-15-0 300814-84-0 300814-92-0 300835-44-3 300860-55-3 301208-20-8 301354-95-0 301357-29-9 301359-59-1 301819-78-3 302605-23-8 302819-94-9 302902-82-5 302902-85-8 302928-33-2 302928-47-8 303018-57-7 303051-04-9 303775-26-0 303795-69-9 303796-91-0 303991-36-8 304444-21-1 304455-21-8 306315-63-9 306744-57-0 306744-62-7 306745-36-8 306763-98-4 307509-17-7 307525-79-7 311328-49-1 311777-84-1 312592-76-0 312742-68-0 312915-20-1 312929-58-1 313226-23-2 313258-92-3 313364-25-9 313372-07-5 313496-02-5 313509-24-9 313647-25-5 313953-24-1 313958-90-6 314031-82-8 314250-43-6 316130-78-6 316133-27-4 316137-06-1 317337-31-8 321578-75-0 321673-30-7 321693-02-1 324055-11-0 324060-54-0 325990-08-7 327982-01-4 328265-49-2 329061-94-1 329180-46-3 329272-20-0 329782-40-3 330448-31-2 330448-51-6 330448-63-0 330819-79-9 330834-48-5 331243-89-1 331245-08-0 331247-11-1 331417-48-2 331422-88-9 331424-77-2 331429-91-5 331435-62-2 331465-03-3 331648-90-9 331947-10-5 339208-29-6 339303-87-6 340737-11-3 344929-32-4 346719-00-4 346723-87-3 347366-97-6 351491-72-0 352446-44-7 352553-24-3 352564-51-3 352641-99-7 356586-79-3 356586-96-4 363590-63-0 371951-42-7 374696-74-9 380573-21-7 398131-57-2 400840-54-2 404377-92-0 404911-33-7 412947-27-4 412962-93-7 413571-56-9 413572-53-9 413581-92-7 413584-09-5 413594-56-6 413605-68-2 413609-62-8 413612-56-3 413618-26-5 413619-39-3 413619-43-9 413620-13-0 454456-22-5 454666-48-9 462059-44-5 462060-09-9 462061-30-9 464154-35-6 464876-56-0 485352-55-4 490017-20-4 502992-73-6 503133-34-4 519152-83-1 551911-04-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of inhibitors of scavenger receptor class proteins for treatment of infectious diseases)

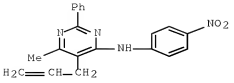
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1018595 HCAPLUS Full-text
DOCUMENT NUMBER: 147:357121
TITLE: Use of inhibitors of scavenger receptor class proteins for the treatment of infectious diseases
INVENTOR(S): Hannus, Michael; Martin, Cecilie; Mota, Maria M.; Prudencio, Miguel; Rodrigues, Christina Dias
PATENT ASSIGNEE(S): Cenix Bioscience GmbH, Germany; Instituto De Medicina Molecular
SOURCE: Eur. Pat. Appl., 66pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1832283 | A1 | 20070912 | EP 2006-4854 | 20060309 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU | | | | |
| WO 2007101710 | A1 | 20070913 | WO 2007-EP2110 | 20070309 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |

PRIORITY APPLN. INFO.: EP 2006-4854 A 20060309
US 2006-780567P P 20060309
OTHER SOURCE(S): MARPAT 147:357121
ED Entered STN: 12 Sep 2007
AB The invention relates to the use of inhibitors of scavenger receptor class proteins, in particular ScarB1 for the production of a medicament for treatment of and/or prophylaxis against infections, involving liver cells and/or hematopoietic cells, in particular malaria. Administration of ezetimibe to mice injected with Plasmodium berghei significantly reduced liver infection rate. Small interfering RNAs targeting ScarB1 reduced EEF (Exo-Erythrocytic Form) development in human hepatoma cells infected with Plasmodium berghei sporozoites.
IT 330819-79-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of inhibitors of scavenger receptor class proteins for treatment of infectious diseases)
RN 330819-79-9 HCAPLUS
CN 4-Pyrimidinamine, 6-methyl-N-(4-nitrophenyl)-2-phenyl-5-(2-propen-1-yl)- (CA INDEX NAME)

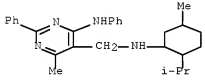


CC 1-5 (Pharmacology)
Section cross-reference(s): 3, 63

IT 50-63-5, Chloroquine-phosphate 130-95-0D, Chinine, alkaloid 500-92-5, Proguanil 536-20-9, 2,4,6-Pyridinetricarboxylic acid 564-25-0, Doxycycline 747-36-4, Hydroxychloroquinesulfate 946-13-4 1151-31-1 1521-23-9 2697-61-2 3426-65-1 3440-28-6 4365-60-0 4381-88-8 5102-18-1 5118-80-9 5165-45-7 10102-94-0 10286-90-5 13721-16-9 18015-03-7 18265-72-0 19258-27-6 24834-68-2 27043-39-6D, Aminopyrimidine, derivs. 31436-27-8 33350-83-3 34326-53-9 34600-41-4 34812-69-6 35128-95-1 35458-31-2 36800-78-9 53230-10-7, Mefloquine 53305-35-4 53691-91-1 54258-41-2, 1,10-Phenanthrolin-5-amine 58039-06-8 58136-76-8 62941-10-0 64741-15-7 66121-84-4 67727-65-5 71963-77-4, Artemether 75460-28-5 76492-71-2 77373-46-7 82186-77-4, Lumefantrine 82859-76-5 88704-72-7 89143-27-1 89159-65-9 90429-57-5 92884-66-7 94678-96-3 95233-18-4, Atovaquone 95557-97-4 96749-32-5 100615-32-5 101733-97-5 102355-48-6 109567-63-7 109940-24-1 112117-88-1 113697-57-7 119512-15-1 123044-10-0 132214-10-9 136402-19-2 136402-20-5 149225-69-4 163222-33-1 164355-96-8 164355-99-1 169673-73-8 172986-02-6 173601-65-5 183486-59-1 200279-30-7 202190-83-8 202202-36-6 203574-13-4 220194-89-8 251917-79-0 272437-84-0 290829-51-5 293323-99-6 293765-11-4 293765-96-5 294654-81-2 294669-13-9 296265-02-6 298217-72-8 298219-68-8 300667-82-7 300670-54-6 300708-15-0 300814-84-0 300814-92-0 300835-44-3 300860-55-3 301208-20-8 301354-95-0 301357-29-9 301359-59-1 302605-23-8 302819-94-9 302902-82-5 302902-85-8 302928-33-2 302928-47-8 303018-57-7 303051-04-9 303775-26-0 303795-69-9 303796-91-0 303991-36-8 304444-21-1 304455-21-8 306315-63-9 306744-57-0 306744-62-7 306745-36-8 306763-98-4 307509-17-7 307525-79-7 311328-49-1 311777-84-1 312592-76-0 312742-68-0 312915-20-1 312929-58-1 313226-23-2 313258-92-3 313364-25-9 313372-07-5 313496-02-5 313509-24-9 313647-25-5 313953-24-1 313958-90-6 314031-82-8 314250-43-6 316130-78-6 316133-27-4 316137-06-1 321578-75-0 321673-30-7 321693-02-1 324055-11-0 324060-54-0 325990-08-7 327982-01-4 328265-49-2 329061-94-1 329180-46-3 329272-20-0 329782-40-3 330447-36-4 330448-31-2 330448-51-6 330448-63-0 330819-79-9 330834-48-5 331243-89-1 331245-08-0 331247-11-1 331417-48-2 331422-88-9 331424-77-2 331429-91-5 331435-62-2 331465-03-3 331648-90-9 331947-10-5 339208-29-6 339303-87-6 340737-11-3 344929-32-4 346719-00-4 346723-87-3 347366-97-6 351491-72-0 352446-44-7 352553-24-3 352564-51-3 356586-79-3 356586-96-4 363590-63-0 371951-42-7 374696-74-9 398131-57-2 400840-54-2 404377-92-0 404911-33-7 412947-27-4 412962-93-7 413571-56-9 413572-53-9 413581-92-7 413584-09-5 413594-56-6 413605-68-2 413609-62-8 413612-56-3 413618-26-5 413619-39-3 413619-43-9 413620-13-0 462059-44-5 462060-09-9 462061-30-9 464154-35-6 464876-56-0 490017-20-4 551911-04-7 681281-65-2 681281-67-4 681281-69-6 681281-70-9 681281-71-0 681281-73-2 681281-74-3 681281-75-4 681281-76-5 681281-77-6 681281-78-7 681281-79-8 681281-80-1 681281-82-3 681281-83-4 681281-84-5 681281-85-6

681281-86-7 681281-88-9 681281-89-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of inhibitors of scavenger receptor class proteins for treatment of infectious diseases)
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:605598 HCAPLUS Full-text
DOCUMENT NUMBER: 148:308492
TITLE: Synthesis and biological activity of 5-aminopyrimidineterpenes
AUTHOR(S): Rykowski, Zbigniew; Cieplik, Jerzy; Paulus, Katarzyna; Pluta, Janusz; Gubrynowicz, Olaf
CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy, Wroclaw, 50-137, Pol.
SOURCE: Scientia Pharmaceutica (2007), 75(1), 1-8
CODEN: SCPHA4; ISSN: 0036-8709
PUBLISHER: Oesterreichische Apotheker-Verlagsgesellschaft
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 05 Jun 2007
AB The synthesis of 4-arylamine-6-methyl-2-phenyl-5-methylamine-terpene derivs. was presented, and antibacterial activities of the prepared compds. were investigated.
IT 1009635-27-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antibacterial activity of 5-aminopyrimidine-terpene derivs.)
RN 1009635-27-1 HCAPLUS
CN 5-Pyrimidinemethanamine, 4-methyl-N-[5-methyl-2-(1-methylethyl)cyclohexyl]-2-phenyl-6-(phenylamino)- (CA INDEX NAME)



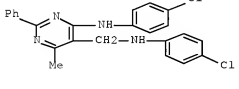

CC 30-10 (Terpenes and Terpenoids)
Section cross-reference(s): 10
IT 1009635-27-1P 1009635-28-2P 1009635-30-6P
1009635-32-8P 1009635-34-0P 1009635-35-1P
1009635-36-2P 1009635-37-3P 1009635-39-5P
1009635-42-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antibacterial activity of 5-aminopyrimidine-terpene derivs.)
IT 21411-81-4 53567-64-9 74837-95-9 164926-92-5
164926-93-6 164927-16-6 164927-17-7
164927-19-9 186804-32-0 871984-22-4
1009635-43-1 1009635-44-2
RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis and antibacterial activity of 5-aminopyrimidine-terpene derivs.)

IT 1009635-29-3P 1009635-31-7P 1009635-33-9P
1009635-38-4P 1009635-40-8P 1009635-41-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and antibacterial activity of 5-aminopyrimidine-terpene derivs.)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 5 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:426718 HCAPLUS Full-text
DOCUMENT NUMBER: 146:72361
TITLE: Two polymorphic forms of N-(4-chlorophenyl)-5-[(4-chlorophenyl)aminomethyl]-6-methyl-2-phenylpyrimidin-4-amine
AUTHOR(S): Cieplik, Jerzy; Pluta, Janusz; Bryndal, Iwona; Lis, Tadeusz
CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy, Wroclaw, 50-137, Pol.
SOURCE: Acta Crystallographica, Section C: Crystal Structure Communications (2006), C62(5), o259-o261
CODEN: ACSCEE; ISSN: 0108-2701
PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 08 May 2006
AB Two polymorphic forms of the title compound, C24H20Cl2N4, were obtained and characterized using x-ray crystal structure anal. Colorless crystals of polymorph (Ia) were obtained from the oily mother residue. Recrystn. of polymorph (Ia) from an acetone-MeOH mixture resulted in pale-yellow crystals of polymorph (Ib). Crystallog. data are given. The major feature distinguishing the two polymorphic forms is their interaction modes, and hence their packing arrangements. In the crystal structure of polymorph (Ia), there are N-H...N H bonds and also aromatic π-π stacking interactions between mols. The mols. of polymorph (Ib) are linked by N-H...Cl H bonds only.
IT 164927-02-0
RL: PRP (Properties)
(crystal structure of polymorphs of)
RN 164927-02-0 HCAPLUS
CN 5-Pyrimidinemethanamine, N-(4-chlorophenyl)-4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



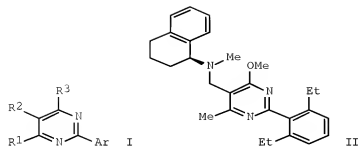

CC 75-8 (Crystallography and Liquid Crystals)
Section cross-reference(s): 22, 28
IT 164927-02-0
RL: PRP (Properties)
(crystal structure of polymorphs of)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1241187 HCAPLUS Full-text
DOCUMENT NUMBER: 144:6804
TITLE: Preparation of 4,5-disubstituted-2-aryl pyrimidines as C5a receptor ligands
INVENTOR(S): Maynard, George D.; Ghosh, Manuka; Yuan, Jun; Currie, Kevin S.; Mitchell, Scott; Guo, Qin; Zhao, He
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 216 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005110416 | A2 | 20051124 | WO 2005-US15897 | 20050506 |
| WO 2005110416 | A3 | 20060413 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2005244104 | A1 | 20051124 | AU 2005-244104 | 20050506 |
| CA 2563607 | A1 | 20051124 | CA 2005-2563607 | 20050506 |
| US 20050277654 | A1 | 20051215 | US 2005-123755 | 20050506 |
| EP 1745033 | A2 | 20070124 | EP 2005-746687 | 20050506 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| CN 1976918 | A | 20070606 | CN 2005-80021315 | 20050506 |
| JP 2007536263 | T | 20071213 | JP 2007-511645 | 20050506 |
| IN 2006DN07409 | A | 20070824 | IN 2006-DN7409 | 20061207 |
| PRIORITY APPLN. INFO.: | | | US 2004-569222P P | 20040508 |
| | | | US 2005-649973P P | 20050204 |
| | | | WO 2005-US15897 W | 20050506 |

OTHER SOURCE(S): MARPAT 144:6804
ED Entered STN: 24 Nov 2005
GI



I II

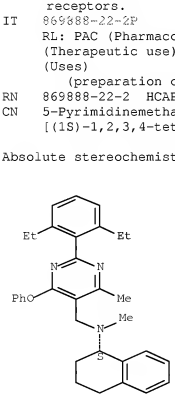
AB Title compds. I [Ar = mono-, di-, or tri-substituted Ph, (un)substituted naphthyl or heteroaryl; R1 = H, (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = OH, CHO, (un)substituted alkyl, etc.; R3 = (un)substituted aryl, cycloalkyl, arylalkyl, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as C5a receptor ligands. Thus, e.g., II was prepared by substitution of 2,4-dichloro-5-chloromethyl-6- methylpyrimidine (preparation given) with (1S)-methyl-(1,2,3,4- tetrahydronaphthalen-1-yl)amine followed by substitution of the 4-chloro group with methanol and coupling with 2,6-diethylphenylboronic acid. Preferred compds. of the invention bind to C5a receptors with high affinity and exhibit neutral antagonist or inverse activity at C5a receptors. I exhibited IC50 values of 2 μM or less in calcium immobilization assays. The present invention also relates to pharmaceutical compns. comprising such compds., and to the use of such compds. in treating a variety of inflammatory, cardiovascular, and immune system disorders. In addition, the present invention provides labeled 4,5-disubstituted-2-arylpyrimidines, which are useful as probes for the localization of C5a receptors.

IT 869888-22-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of disubstituted arylpyrimidines as C5a receptor ligands)

RN 869888-22-2 HCAPLUS

CN 5-Pyrimidinemethanamine, 2-(2,6-diethylphenyl)-N,4-dimethyl-6-phenoxy-N-[(1S)-1,2,3,4-tetrahydro-1-naphthalenyl]- (CA INDEX NAME)

Absolute stereochemistry.



IC ICM A61K031-505
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63
IT 869887-00-3P 869887-01-4P 869887-02-5P 869887-03-6P 869887-04-7P
869887-05-8P 869887-06-9P 869887-08-1P 869887-10-5P 869887-12-7P
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869887-64-9P 869887-65-0P 869887-66-1P 869887-67-2P 869887-68-3P
869887-69-4P 869887-70-7P 869887-71-8P 869887-72-9P 869887-73-0P
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869889-77-0P 869889-78-1P 869889-79-2P 869889-80-5P 869889-81-6P
869889-82-7P 869889-83-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of disubstituted arylpyrimidines as C5a receptor ligands)

L15 ANSWER 7 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1025025 HCAPLUS Full-text

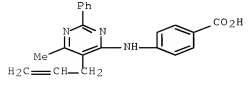
DOCUMENT NUMBER: 143:455184
TITLE: Electrospray Mass Spectrometry for the Direct Accurate Mass Measurement of Ligands in Complex With the Retinoid X Receptor α Ligand Binding Domain
AUTHOR(S): Lengqvist, Johan; Alvelius, Gunvor; Joernvall, Hans; Sjoevall, Jan; Perlmann, Thomas; Griffiths, William J.
CORPORATE SOURCE: Department of Medical Biochemistry and Biophysics, Karolinska Institutet, Stockholm, Swed.
SOURCE: Journal of the American Society for Mass Spectrometry (2005), 16(10), 1631-1640
CODEN: JAMSEF; ISSN: 1044-0305
PUBLISHER: Elsevier Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 23 Sep 2005

AB Accurate mass measurements are often used in the structural determination of unknown compds. of low mol. mass (i.e., below .apprx.500 Da). Recently, it has been shown that accurate mass measurements also can be made on small denatured proteins (i.e., M r, .apprx.17,000) to confirm their amino acid composition and identify the presence of isoforms. In the current report, the authors present nondenaturing electrospray (ES) mass spectrometry data on the direct accurate mass measurement of ligands in complex with the retinoid X receptor ligand binding domain (RXR LBD; M r 31,370.92). Average mass errors were below 0.198 Da, 6.3 ppm (standard deviation [SD], 0.146; n = 10) for low-affinity fatty acid agonists analyzed in complex with the RXR LBD. Protein consumption was less than 15 pmol, with fatty acid ligands present at concns. corresponding to their median effective concentration value (low micromolar, determined in transfection assays). Although determination of fatty acid mass was only sufficiently accurate to give nominal mass values, measurements were of sufficient accuracy to assign fatty acid chain length, degree of unsatn., or cyclization. Using 17β-estradiol as a control, the ability to observe specific ligand binding is shown for both high- and low-affinity RXRα agonists. In addition, binding of a novel synthetic receptor agonist XCT0315908 to the RXRα LBD is reported. This compound showed a high degree of complex formation, and the receptor-ligand complex could be mass measured with an average mass error of -0.024 Da, 0.8 ppm (SD, 0.092; n = 9). Thus, specific binding of both nanomolar and micromolar affinity ligands to a nuclear receptor LBD can be directly observed using nondenaturing ES mass spectrometry and accurate mass measurements addnl. can be made on intact complexes in the same experiment This methodol. also is applicable when ligands are present as components of mixts.

IT 300837-31-4, XCT 0315908
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(ESI mass spectrometry for mass measurement of ligands in complex with retinoid X receptor α ligand binding domain)

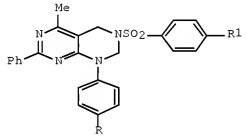
RN 300837-31-4 HCAPLUS

CN Benzoic acid, 4-[[6-methyl-2-phenyl-5-(2-propenyl)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

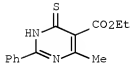


CC 9-5 (Biochemical Methods)
IT 300837-31-4, XCT 0315908
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(ESI mass spectrometry for mass measurement of ligands in complex with retinoid X receptor α ligand binding domain)
REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

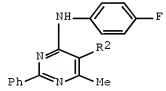
L15 ANSWER 8 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:550005 HCAPLUS Full-text
DOCUMENT NUMBER: 144:69798
TITLE: Synthesis and antimicrobial properties of 3-sulfonyl-1,2,3,4-tetrahydropyrimido[4,5-d]pyrimidines
AUTHOR(S): Cieplik, Jerzy; Pluta, Janusz; Gubrynowicz, Olaf
CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy, Wroclaw, Pol.
SOURCE: Bollettino Chimico Farmaceutico (2004), 143(9), 321-328
CODEN: BCFAAI; ISSN: 0006-6648
PUBLISHER: Societa Editoriale Farmaceutica
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:69798
ED Entered STN: 26 Jun 2005
GI




I



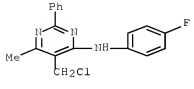

II




III

AB Title compds. such as I (R = F, OH; R1 = Me, NHAc, NH2) were prepared starting from pyrimidinethione II via intermediates such as III (R2 = COOEt, CO2H, CH2OH, CH2Cl, CH2NH2). I showed antibacterial and antifungal activity.
IT 871984-22-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate, amination of; preparation and antimicrobial properties of 3-sulfonyl-1,2,3,4-tetrahydropyrimido[4,5-d]pyrimidines)

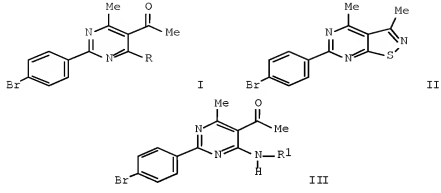
RN 871984-22-4 HCAPLUS
CN 4-Pyrimidinamine, 5-(chloromethyl)-N-(4-fluorophenyl)-6-methyl-2-phenyl- (CA INDEX NAME)




CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
IT 871984-22-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate, amination of; preparation and antimicrobial properties of 3-sulfonyl-1,2,3,4-tetrahydropyrimido[4,5-d]pyrimidines)
IT 871984-21-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate, chlorination of; preparation and antimicrobial properties of 3-sulfonyl-1,2,3,4-tetrahydropyrimido[4,5-d]pyrimidines)
IT 871984-23-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate, heterocyclization of; preparation and antimicrobial properties of 3-sulfonyl-1,2,3,4-tetrahydropyrimido[4,5-d]pyrimidines)
IT 871984-20-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate, reduction of; preparation and antimicrobial properties of 3-sulfonyl-1,2,3,4-tetrahydropyrimido[4,5-d]pyrimidines)
IT 871984-19-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate, saponification of; preparation and antimicrobial properties of
3-sulfonyl-1,2,3,4-tetrahydropyrimido[4,5-d]pyrimidines)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 9 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:556975 HCAPLUS Full-text
DOCUMENT NUMBER: 142:261487
TITLE: Synthesis of thieno-, pyrazolo-, and isothiazolopyrimidine derivatives based on O-mercaptoacetylpyrimidine derivative
AUTHOR(S): Ahmed, G. A.; Mostafa, H. Y.; Assy, M. G.; Mansor, Neven S.
CORPORATE SOURCE: Chemistry Department, Faculty of Science, Zagazig University, Zagazig, Egypt
SOURCE: Egyptian Journal of Chemistry (2003), 46(1), 11-25
CODEN: EGJCA3; ISSN: 0449-2285
PUBLISHER: National Information and Documentation Centre
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:261487
ED Entered STN: 13 Jul 2004
GI

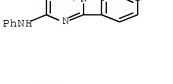



AB The mercaptopyrimidine I (R = SH) was prepared and methylated to give I (R = MeS) which cyclized with hydrazine to give a pyrazolopyrimidine and condensed with benzaldehydes to give cinnamoylpyrimidines. I (R = SH) reacted with halo active methylene compds. to give thienopyrimidines and converted to a pyrimidinol on treatment with hydrogen peroxide in aqueous NaOH. Oxidation of I (R = SH) gave a disulfide and heterocyclization of I (R = SH) using NaOCl in the presence of NaOH/NH4OH gave a the isothiazolopyrimidine II. Heterocyclization of I (R = SH) with aromatic aldehydes gives thiopyranopyrimidines and chlorination of I (R = SH) gave I (R = Cl) which was converted into a pyrrolopyrimidine and aminopyrimidines III (R1 = Ph, 4-MeC6H4, 2-HO2CC6H4).

IT 845868-68-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(formation of aminopyrimidines in preparation of thieno-, pyrazolo-, and isothiazolopyrimidines from mercaptoacetylpyrimidine)

RN 845868-68-0 HCAPLUS

CN Ethanone, 1-[2-(4-bromophenyl)-4-methyl-6-(phenylamino)-5-pyrimidinyl]- (CA INDEX NAME)




CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 845868-68-0P 845868-69-1P 845868-70-4P
845868-71-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(formation of aminopyrimidines in preparation of thieno-, pyrazolo-, and isothiazolopyrimidines from mercaptoacetylpyrimidine)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 10 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:331897 HCAPLUS Full-text
DOCUMENT NUMBER: 140:350578
TITLE: Small organic compounds for modulation of cholesterol transport via regulation of the scavenger receptor SR-BI for HDL
INVENTOR(S): Nieland, Thomas J. F.; Krieger, Monty; Kirchhausen, Tomas
PATENT ASSIGNEE(S): Massachusetts Institute of Technology, USA; Center for Blood Research, Inc.
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004032716 | A2 | 20040422 | WO 2003-US31918 | 20031008 |
| WO 2004032716 | A9 | 20040819 | | |
| WO 2004032716 | A3 | 20040930 | | |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2501685 | A1 | 20040422 | CA 2003-2501685 | 20031008 |
| AU 2003288925 | A1 | 20040504 | AU 2003-288925 | 20031008 |
| US 20040171073 | A1 | 20040902 | US 2003-681746 | 20031008 |
| EP 1562605 | A2 | 20050817 | EP 2003-781314 | 20031008 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2006515274 | T | 20060525 | JP 2004-543548 | 20031008 |
| PRIORITY APPLN. INFO.: | | | US 2002-417083P | P 20021008 |
| | | | WO 2003-US31918 | W 20031008 |

ED Entered STN: 23 Apr 2004

AB Methods for regulation of lipid and cholesterol uptake are described which are based on regulation of the expression or function of the SR-BI HDL receptor. The examples demonstrate that estrogen dramatically down-regulates SR-BI under conditions of tremendous upregulation of the LDL-receptor. The examples also demonstrate the upregulation of SR-BI in rat adrenal membranes and other non-placental steroidogenic tissues from animals treated with estrogen, but not in other non-placental non-steroidogenic tissues, including lung, liver, and skin. Examples further demonstrate the uptake of fluorescently labeled HDL into the liver cells of animal, which does not occur when the animals are treated with estrogen. Examples also demonstrate the in vivo effects of SR-BI expression on HDL metabolism, in mice transiently overexpressing hepatic SR-BI following recombinant adenovirus infection. Overexpression of the SR-BI in the hepatic tissue caused a dramatic decrease in cholesterol blood levels. These results demonstrate that modulation of SR-BI levels, either directly or indirectly, can be used to modulate levels of cholesterol in the blood. Over 200 small organic compds. are identified that alter the transfer of lipids between HDL and cells mediated by the HDL receptor SR-BI, cellular and selective lipid uptake of HDL cholesteryl ether, and efflux of cellular

cholesterol to HDL; several compds. have IC50 values in the micromolar or lower range. They specifically alter SR-BI binding, as they required the expression of active SR-BI receptors and they did not interfere with several clathrin-dependent and independent endocytic pathways, the secretory pathway, nor the actin- or tubulin cytoskeletal networks. Strikingly, inhibition of lipid transfer was accompanied by enhanced HDL binding affinity (reduced dissociation rates).

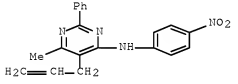
IT 330819-79-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(small organic compds. for modulation of cholesterol transport via regulation of the scavenger receptor SR-BI for HDL)

RN 330819-79-9 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(4-nitrophenyl)-2-phenyl-5-(2-propen-1-yl)- (CA INDEX NAME)



IC ICM A61B

CC 1-8 (Pharmacology)

IT 536-20-9, 2,4,6-Pyridinetricarboxylic acid 946-13-4 1151-31-1
1521-23-9 2697-61-2 3426-65-1 3440-28-6 4365-60-0 4381-88-8
5102-18-1 5118-80-9 5165-45-7 10102-94-0 10286-90-5 13721-16-9
18015-03-7 18265-72-0 19258-27-6 21762-74-3 24834-68-2
31436-27-8 33350-83-3 34326-53-9 34600-41-4 34812-69-6
35128-95-1 35458-31-2 36800-78-9 53305-35-4 53691-91-1
54258-41-2, 1,10-Phenanthrolin-5-amine 58039-06-8 58136-76-8
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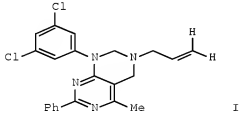
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(small organic compds. for modulation of cholesterol transport via regulation of the scavenger receptor SR-BI for HDL)

L15 ANSWER 11 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:318779 HCAPLUS Full-text
DOCUMENT NUMBER: 142:74520
TITLE: The synthesis and antibacterial activity of 3-alkyl derivatives of some pyrimido[4,5-d] pyrimidines
AUTHOR(S): Cieplik, Jerzy; Pluta, Janusz; Gubrynowicz, Olaf
CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy, Wroclaw, 50-137, Pol.
SOURCE: Acta Poloniae Pharmaceutica (2003), 60(6), 487-492
CODEN: APPHAX; ISSN: 0001-6837
PUBLISHER: Polish Pharmaceutical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:74520
ED Entered STN: 20 Apr 2004
GI




I

AB The synthesis of 4,5-diamino derivs. of pyrimidine and pyrimido[4,5-d]pyrimidines, e.g., I, is presented. The antibacterial and antifungal activity of the compds. was investigated on nine selected bacterial species, comparing the changes in the chemical structure with increase in the bioactive properties. The investigations have shown that the obtained derivs. of

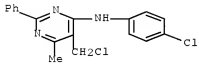
pyrimido[4,5-d]pyrimidines show interesting antibacterial and antifungal activity.

IT 164926-93-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrimidopyrimidines via substitution of amino(chloromethyl)pyrimidines with primary amines followed by intramol. Mannich reaction with formaldehyde)

RN 164926-93-6 HCAPLUS

CN 4-Pyrimidinamine, 5-(chloromethyl)-N-(4-chlorophenyl)-6-methyl-2-phenyl- (CA INDEX NAME)




CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 10, 25

IT 164926-93-6 164927-17-7 186804-33-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrimidopyrimidines via substitution of amino(chloromethyl)pyrimidines with primary amines followed by intramol. Mannich reaction with formaldehyde)

IT 164926-95-8P 813436-01-0P 813436-04-3P 813436-05-4P 673427-25-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrimidopyrimidines via substitution of amino(chloromethyl)pyrimidines with primary amines followed by intramol. Mannich reaction with formaldehyde)

IT 813436-00-9P 813436-02-1P 813436-03-2P

RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation, antimicrobial activity, and SAR of pyrimidopyrimidines via substitution of amino(chloromethyl)pyrimidines with primary amines followed by intramol. Mannich reaction with formaldehyde)

IT 107-11-9, Allylamine 109-73-9, Butylamine, reactions 164927-18-8 164927-19-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation, antimicrobial activity, and SAR of pyrimidopyrimidines via substitution of amino(chloromethyl)pyrimidines with primary amines followed by intramol. Mannich reaction with formaldehyde)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 12 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:298616 HCAPLUS Full-text

DOCUMENT NUMBER: 141:89055

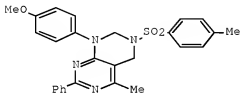
TITLE: Synthesis of pyrimido[4,5-d]pyrimidinesulfon derivatives

AUTHOR(S): Cieplik, J.

CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy, Wroclaw, 50-137, Pol.

SOURCE: Annales Universitatis Mariae Curie-Sklodowska, Sectio AA: Chemia (2003), 58, 112-117

CODEN: AUMCD7; ISSN: 0137-6853
PUBLISHER: Wydawnictwo Uniwersytetu Marii Curie-Sklodowskiej
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:89055
ED Entered STN: 13 Apr 2004
GI



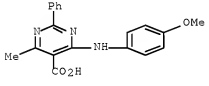
I

AB The paper presents synthesis of pyrimido[4,5-d]pyrimidine sulfonamido-derivs., e.g., I, using various methods to reach the final product.

IT 713525-75-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn of aminomethylmethoxyphenylaminomethylphenylpyrimidine intermediate via reactions involving lithium aluminum hydride, thionyl chloride and ammonium hydroxide resp. with methylmethoxyphenylaminophenylpyrimidinecarboxylic acid)

RN 713525-75-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(4-methoxyphenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



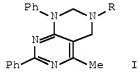
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 713525-75-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn of aminomethylmethoxyphenylaminomethylphenylpyrimidine intermediate via reactions involving lithium aluminum hydride, thionyl chloride and ammonium hydroxide resp. with methylmethoxyphenylaminophenylpyrimidinecarboxylic acid)

IT 166804-30-8P 166804-32-0P 515167-47-2P
515167-59-6P 713525-74-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn of aminomethylmethoxyphenylaminomethylphenylpyrimidine intermediate via reactions involving lithium aluminum hydride, thionyl chloride and ammonium hydroxide resp. with methylmethoxyphenylaminophenylpyrimidinecarboxylic acid)

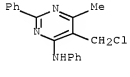
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 13 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:298615 HCAPLUS Full-text
DOCUMENT NUMBER: 142:74519
TITLE: Synthesis of pyrimido[4,5-d]pyrimidine derivatives
AUTHOR(S): Cieplik, J.
CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy, Wroclaw, 50-137, Pol.
SOURCE: Annales Universitatis Mariae Curie-Sklodowska, Sectio AA: Chemia (2003), 58, 105-111
CODEN: AUMCD7; ISSN: 0137-6853
PUBLISHER: Wydawnictwo Uniwersytetu Marii Curie-Sklodowskiej
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:74519
ED Entered STN: 13 Apr 2004
GI




I

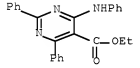
AB The synthesis of pyrimido[4,5-d]pyrimidine derivs. I (R = H, Et, Ph), where identical structures have been obtained by different methods, is presented.
IT 164926-92-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrimidopyrimidines via substitution of anilino(chloromethyl)pyrimidines with amines followed by intramol. Mannich reaction with formaldehyde)
RN 164926-92-5 HCAPLUS
CN 4-Pyrimidinamine, 5-(chloromethyl)-6-methyl-N,2-diphenyl- (CA INDEX NAME)




CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 62-53-3, Aniline, reactions 164926-92-5 812665-44-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrimidopyrimidines via substitution of anilino(chloromethyl)pyrimidines with amines followed by intramol. Mannich reaction with formaldehyde)
IT 812665-59-1P 812665-65-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidopyrimidines via substitution of anilino(chloromethyl)pyrimidines with amines followed by intramol. Mannich reaction with formaldehyde)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 14 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:205964 HCAPLUS Full-text
DOCUMENT NUMBER: 142:74474
TITLE: Product class 12: pyrimidines
AUTHOR(S): von Angerer, S.
CORPORATE SOURCE: Germany
SOURCE: Science of Synthesis (2004), 16, 379-572
CODEN: SSCYJ9
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
ED Entered STN: 15 Mar 2004
AB A review. Methods for preparing pyrimidines are reviewed including cyclization, ring transformation, aromatization and substituent modification.
IT 105849-65-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyrimidines via cyclization, ring transformation, aromatization and substituent modification)
RN 105849-65-8 HCAPLUS
CN 5-Pyrimidinecarboxylic acid, 2,4-diphenyl-6-(phenylamino)-, ethyl ester (CA INDEX NAME)



CC 28-0 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 83407-45-8P 83407-49-2P 83410-18-8P 83501-10-4P 83610-02-0P
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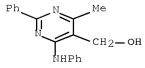
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyrimidines via cyclization, ring transformation, aromatization and substituent modification)

REFERENCE COUNT: 856 THERE ARE 856 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 15 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:83424 HCAPLUS Full-text
DOCUMENT NUMBER: 141:314277
TITLE: Synthesis and antibacterial activity of 1,3-diarylpyrimido[4,5-d]pyrimidines
AUTHOR(S): Cieplik, J.; Pluta, J.; Gubrynowicz, O.
CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy, Wroclaw, Pol.
SOURCE: Bollettino Chimico Farmaceutico (2003), 142(4), 146-150
CODEN: BCFAAI; ISSN: 0006-6648
PUBLISHER: Societa Editoriale Farmaceutica
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:314277
ED Entered STN: 02 Feb 2004
AB This paper describes the synthesis of 4,5-diaminoderivatives of pyrimidine and pyrimido[4,5-d]pyrimidines and evaluation of their antibacterial activity on 9 selected bacterial species relating the changes in the chemical structure to an increase in the bioactive properties.
IT 154957-59-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(chlorination of; preparation and antibacterial activity-structure relationships of diarylpyrimidopyrimidines)
RN 154957-59-2 HCAPLUS

CN 5-Pyrimidinemethanol, 4-methyl-2-phenyl-6-(phenylamino)- (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 154957-59-2P 154957-60-5P 154957-61-6P
154957-62-7P 154957-63-8P 186804-31-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(chlorination of; preparation and antibacterial activity-structure relationships of diarylpyrimidopyrimidines)

IT 769141-35-7P 769141-36-8P 769141-37-9P
769141-38-0P 769141-39-1P 769141-40-4P
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(cyclization of; preparation and antibacterial activity-structure relationships of diarylpyrimidopyrimidines)

IT 164926-92-5P 164926-93-6P 164927-17-7P
164927-18-8P 164927-19-9P 186804-33-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antibacterial activity-structure relationships of diarylpyrimidopyrimidines)

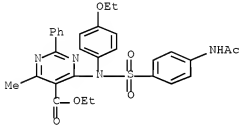
IT 94036-95-0P 94036-96-1P 94036-97-2P
94037-00-0P 160944-65-0P 160944-66-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reduction of; preparation and antibacterial activity-structure relationships of
diarylpyrimidopyrimidines)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 16 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:83413 HCAPLUS Full-text
DOCUMENT NUMBER: 141:314276
TITLE: Synthesis and bactericidal properties of ethyl 4-sulfonamido pyrimidine 5-carboxylate derivatives
AUTHOR(S): Cieplik, Jerzy; Pluta, Janusz; Gubrynowicz, Olaf
CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy, Wroclaw, Pol.
SOURCE: Bollettino Chimico Farmaceutico (2003), 142(5), 206-210
CODEN: BCFAAI; ISSN: 0006-6648
PUBLISHER: Societa Editoriale Farmaceutica
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:314276
ED Entered STN: 02 Feb 2004
AB The paper presents synthesis of Et 6-methyl-4-arylamine-4-sulfonamide-2-phenyl-5-carboxypyrimidine derivs. and the results of microbiol. tests of new derivs. performed on selected bacterial strains.

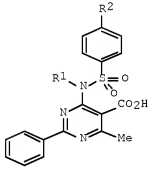
IT 769136-33-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(hydrolysis of; preparation and bactericidal properties of Et sulfonamidopyrimidine carboxylate derivs.)
RN 769136-33-6 HCAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-[[[4-(acetylamino)phenyl]sulfonyl](4-ethoxyphenyl)amino]-6-methyl-2-phenyl-, ethyl ester (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 10
IT 769136-33-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(hydrolysis of; preparation and bactericidal properties of Et sulfonamidopyrimidine carboxylate derivs.)
IT 769136-29-0P 769136-30-3P 769136-31-4P
769136-32-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(hydrolysis of; preparation and bactericidal properties of Et sulfonamidopyrimidine carboxylate derivs.)
IT 769136-28-9P 769136-38-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal properties of Et sulfonamidopyrimidine carboxylate derivs.)
IT 23155-55-7 94036-94-9 94037-16-8 94037-17-9
160944-62-7 160944-63-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and bactericidal properties of Et sulfonamidopyrimidine carboxylate derivs.)
IT 769136-24-5P 769136-25-6P 769136-26-7P
769136-27-8P 769136-34-7P 769136-35-8P
769136-36-9P 769136-37-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and bactericidal properties of Et sulfonamidopyrimidine carboxylate derivs.)
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 17 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:592144 HCAPLUS Full-text

DOCUMENT NUMBER: 140:253521
TITLE: Synthesis and antibacterial properties of 4-sulfonamidopyrimidine derivatives
AUTHOR(S): Cieplik, Jerzy; Pluta, Janusz; Gubrynowicz, Olaf
CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy, Wroclaw, 50-137, Pol.
SOURCE: Acta Poloniae Pharmaceutica (2003), 60(1), 75-79
CODEN: APPHAX; ISSN: 0001-6837
PUBLISHER: Polish Pharmaceutical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:253521
ED Entered STN: 03 Aug 2003
GI



I

AB The sulfonylamino-substituted pyrimidines I (R1 = 4-ClC6H4, 3,4-Cl2C6H3, 3,5-Cl2C6H3, 4-HOC6H4, 4-EtOC6H4; R2 = Me, H2N, MeCONH) were synthesized, and their antibacterial activity was investigated.

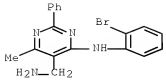
IT 670234-02-3P
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antibacterial activity of sulfonylamino pyrimidines)

RN 670234-02-3 HCAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-[[[4-(acetylamino)phenyl]sulfonyl](4-ethoxyphenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 10

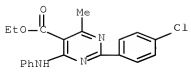
IT 670234-03-3P
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antibacterial activity of sulfonylamino pyrimidines)
IT 670233-97-3P 670234-09-0P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibacterial activity of sulfonylamino pyrimidines)
IT 98-59-9, Tosyl chloride 121-62-0 94036-96-1 94036-97-2 94037-00-0 160944-65-0 160944-66-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and antibacterial activity of sulfonylamino pyrimidines)
IT 670233-98-4P 670233-99-5P 670234-00-1P 670234-01-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antibacterial activity of sulfonylamino pyrimidines)
IT 670233-93-9P 670233-94-0P 670233-95-1P 670233-96-2P 670234-04-5P 670234-05-6P 670234-07-8P 670234-08-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antibacterial activity of sulfonylamino pyrimidines)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 18 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:821003 HCAPLUS Full-text
DOCUMENT NUMBER: 138:338078
TITLE: Synthesis and antibacterial properties of pyrimidopyrimidines
AUTHOR(S): Cieplik, Jerzy; Pluta, Janusz; Gubrynowicz, Olaf
CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy, Wroclaw, 50-137, Pol.
SOURCE: Scientia Pharmaceutica (2002), 70(3), 245-252
CODEN: SCPHA4; ISSN: 0036-8709
PUBLISHER: Oesterreichische Apotheker-Verlagsgesellschaft
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:338078
ED Entered STN: 29 Oct 2002
AB The paper presents the synthesis of newly prepared derivs. of 6-methyl-2-phenyl-4-phenylamino-5-aminomethylpyrimidine and 5-methyl-1,7-diphenyl-1,2,3,4-tetrahydropyrimido[4,5-d]pyrimidine and also the results of microbiol. studies. Pyrimidopyrimidine derivs. prepared show a certain analogy in their chemical structure to quinolone structures and also- as might have been expected - they inhibit to a large extent the growth of bacterial strains, in some cases better than some antibiotics and sulfonamides used at present.
IT 515167-37-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antibacterial properties of pyrimidopyrimidines)
RN 515167-37-0 HCAPLUS
CN 5-Pyrimidinemethanamine, 4-[(2-bromophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
IT 515167-37-0P 515167-39-2P 515167-41-6P
515167-43-8P 515167-45-0P 515167-47-2P
515167-49-4P 515167-51-8P 515167-53-0P 515167-55-2P
515167-57-4P 515167-59-6P 515167-61-0P 515167-63-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antibacterial properties of pyrimidopyrimidines)
IT 515167-31-4P 515167-33-6P 515167-35-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antibacterial properties of pyrimidopyrimidines)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 19 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:402450 HCAPLUS Full-text
DOCUMENT NUMBER: 135:180734
TITLE: Functionalization and heteroannelation of ethyl 2-(4'-chlorophenyl)-4-mercapto-6-methylpyrimidine-5-carboxylate
AUTHOR(S): Saad, H. A.; Moustafa, H. Y.; Assy, M. G.; Sayed, M. A.
CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Zagazig University, Zagazig, Egypt
SOURCE: Bulletin of the Korean Chemical Society (2001), 22(3), 311-314
CODEN: BKCSDE; ISSN: 0253-2964
PUBLISHER: Korean Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:180734
ED Entered STN: 05 Jun 2001
AB The title compound (I) was subjected to substitution reactions on the mercapto group to give derivs. that were cyclized to thienopyrimidines. I was also converted to the 4-chloro analog and oxidized to the hydroxy analog. The chloro analog was cyclized with urea, guanidine, thiourea, and azide to give pyrimidopyrimidines, tetrazolopyrimidines, and pyrazolopyrimidines. It was also substituted by PhNH2 or CH2(CN)2.
IT 354811-03-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(functionalization and heteroannelation of Et 2-(4'-chlorophenyl)-4-mercapto-6-methylpyrimidine-5-carboxylate)
RN 354811-03-3 HCAPLUS
CN 5-Pyrimidinecarboxylic acid, 2-(4-chlorophenyl)-4-methyl-6-(phenylamino)-, ethyl ester (CA INDEX NAME)



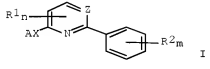
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 354810-66-5P 354810-71-2P 354810-72-3P 354810-73-4P 354810-74-5P
354810-77-8P 354810-79-0P 354810-82-5P 354810-85-8P 354810-89-2P
354810-91-6P 354810-94-9P 354810-97-2P 354811-00-0P
354811-03-3P 354811-05-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(functionalization and heteroannelation of Et 2-(4'-chlorophenyl)-4-mercapto-6-methylpyrimidine-5-carboxylate)
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 20 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:816106 HCAPLUS Full-text
DOCUMENT NUMBER: 130:62402
TITLE: Preparation of herbicidal 2,6-disubstituted pyridines and 2,4-disubstituted pyrimidines
INVENTOR(S): Kleemann, Axel; Baltruschat, Helmut Siegfried; Hulsen, Thekla; Maier, Thomas; Scheiblich, Stefan
PATENT ASSIGNEE(S): American Cyanamid Company, USA
SOURCE: U.S., 21 pp., Cont.-in-part of U.S. Ser. No. 454,044, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5849758 | A | 19981215 | US 1996-693422 | 19960807 |
| US 5824624 | A | 19981020 | US 1996-761479 | 19961206 |
| CA 2212310 | A1 | 19980207 | CA 1997-2212310 | 19970805 |
| EP 823431 | A1 | 19980211 | EP 1997-305994 | 19970806 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| AU 9733198 | A | 19980212 | AU 1997-33198 | 19970806 |
| AU 730571 | B2 | 20010308 | | |
| HU 9701361 | A2 | 19980629 | HU 1997-1361 | 19970806 |
| HU 9701361 | A3 | 19990301 | | |
| BR 9704282 | A | 19981229 | BR 1997-4282 | 19970806 |
| ZA 9707009 | A | 19990208 | ZA 1997-7009 | 19970806 |
| IN 1997CA01454 | A | 20050311 | IN 1997-CA1454 | 19970806 |
| CN 1175578 | A | 19980311 | CN 1997-117398 | 19970807 |
| CN 1117748 | B | 20030813 | | |
| JP 10114745 | A | 19980506 | JP 1997-224435 | 19970807 |
| IL 121492 | A | 20020210 | IL 1997-121492 | 19970807 |
| US 6008161 | A | 19991228 | US 1998-115275 | 19980714 |
| IN 183909 | A1 | 20000513 | IN 1998-CA1791 | 19981009 |
| IN 183910 | A1 | 20000513 | IN 1998-CA1792 | 19981009 |
| US 6066597 | A | 20000523 | US 1999-361906 | 19990727 |
| PRIORITY APPLN. INFO.: | | | US 1995-454044 B2 | 19950530 |

| | | |
|---|---|---|
| EP 1995-101057 | A | 19950126 |
| IL 1996-116855 | A0 | 19960122 |
| IN 1996-CA130 | A1 | 19960124 |
| US 1996-693422 | A | 19960807 |
| US 1996-761479 | A3 | 19961206 |
| US 1998-115275 | A3 | 19980714 |

OTHER SOURCE(S): MARPAT 130:62402
ED Entered STN: 01 Jan 1999
GI



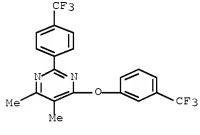
I

AB The title compds. I [Z = CH or N; A = substituted aryl or (un)substituted pyridyl or pyrazolyl; n = 0, 1 or 2; R1 = H or (un)substituted alkyl, alkoxy, alkylthio or dialkylamino; m = 0, 1-5; R2 = H, halo, (un)substituted alkyl, haloalkyl, haloalkoxy, alkoxy, oe alkylthio, or nitro, cyano or halosulfonyl; X = O or S] are prepared as herbicides.

IT 180607-37-8P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as herbicide)

RN 180607-37-8 HCAPLUS

CN Pyrimidine, 4,5-dimethyl-6-[3-(trifluoromethyl)phenoxy]-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



IC ICM A01N043-54
ICS C07D239-02

INCL 514269000

CC 5-3 (Agrochemical Bioregulators)
Section cross-reference(s): 28

IT 180606-10-4P 180606-11-5P 180606-12-6P 180606-13-7P 180606-22-8P
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217631-04-4P 217631-05-5P 217631-06-6P 217631-07-7P 217631-08-8P

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as herbicide)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 21 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:154790 HCAPLUS Full-text
DOCUMENT NUMBER: 128:167441
TITLE: Preparation of herbicidal 2,6-disubstituted pyridines and 2,4-disubstituted pyrimidines
INVENTOR(S): Kleemann, Axel; Baltruschat, Helmut Siegfried; Maier, Thomas; Scheiblich, Stefan
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: Eur. Pat. Appl., 45 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 823431 | A1 | 19980211 | EP 1997-305994 | 19970806 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| US 5849758 | A | 19981215 | US 1996-693422 | 19960807 |
| PRIORITY APPLN. INFO.: | | | US 1996-693422 | A 19960807 |
| | | | US 1995-454044 | B2 19950530 |

OTHER SOURCE(S): MARPAT 128:167441

ED Entered STN: 14 Mar 1998
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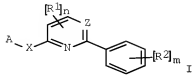


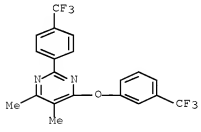
AB The title compds. [I; A = (un)substituted aryl, 5-6 membered nitrogen-containing heteroaryl, difluorobenzodioxolyl; m = 0-5; n = 0-2; R1 = H, halo, (un)substituted alkyl, etc.; R2 = H, halo, (un)substituted alkyl, etc.; X = O, S; Z = N, CH; with the proviso that if A = 1-methyl-3-trifluoromethyl-pyrazol-5-yl, n = 0, X = O and Z = CH, then R2m does not represent H, 3-CF3, 2,4-Cl2 or 2,4-Me2], useful as herbicides, were prepared Thus, reaction of 2-bromo-6-phenylpyridine with 1-methyl-3-trifluoromethyl-5-hydroxypyrazole in the presence of K2CO3 in DMF afforded 52% I [A = 1-methyl-3-trifluoromethylpyrazol-5-yl; X = O; Z = CH; R1 = R2 = H]. Compound I [A = 1-methyl-3-trifluoromethylpyrazol-5-yl; X = O; Z = CH; R1 = H; R2 = 3-CF3] showed complete control against Beta vulgaris and Zea mays in preemergence application at 100 g/ha.

IT 180607-37-8P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of herbicidal 2,6-disubstituted pyridines and 2,4-disubstituted pyrimidines)

RN 180607-37-8 HCAPLUS

CN Pyrimidine, 4,5-dimethyl-6-[3-(trifluoromethyl)phenoxy]-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



IC ICM C07D401-12
ICS C07D213-643; C07D403-14; A01N043-40; A01N043-54; A01N043-56

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 5

IT 180606-10-4P 180606-11-5P 180606-12-6P 180606-13-7P 180606-21-7P
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202995-50-4P 202995-51-5P 202995-52-6P 202995-53-7P

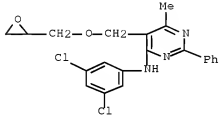
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of herbicidal 2,6-disubstituted pyridines and 2,4-disubstituted pyrimidines)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 22 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:687557 HCAPLUS Full-text
DOCUMENT NUMBER: 128:13239
TITLE: Synthesis and biological investigations of pyrimidine derivatives
AUTHOR(S): Cieplik, Jerzy; Pluta, Janusz; Meler, Grazyna
CORPORATE SOURCE: Department Organic Chemistry, Medical Academy Wroclaw, Wroclaw, 50137, Pol.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1997), 330(8), 237-241
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH
DOCUMENT TYPE: Journal

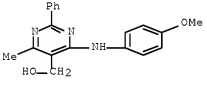
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:13239
ED Entered STN: 30 Oct 1997
AB Various 5-alkoxymethyl and 5-[(aminoalkoxy)methyl] derivs. of pyrimidine were prepared When tested for antibacterial activity, some of the compds. exhibited promising effects.
IT 198978-67-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antibacterial activity of pyrimidines)
RN 198978-67-5 HCAPLUS
CN 4-Pyrimidinamine, N-(3,5-dichlorophenyl)-6-methyl-5-[(oxiranylmethoxy)methyl]-2-phenyl- (9CI) (CA INDEX NAME)




CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 10
IT 198978-67-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antibacterial activity of pyrimidines)
IT 186804-25-1P 186804-44-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibacterial activity of pyrimidines)
IT 75-31-0, Isopropylamine, reactions 106-47-8, 4-Chloroaniline, reactions 106-49-0, 4-Methylaniline, reactions 106-89-8, reactions 111-42-2, reactions 141-43-5, reactions 151021-12-4 154957-61-6 154957-62-7 154957-63-8 154957-64-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and antibacterial activity of pyrimidines)
IT 186804-11-5P 186804-12-6P 186804-13-7P 186804-14-8P 198978-65-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antibacterial activity of pyrimidines)
IT 186804-19-3P 186804-20-6P 186804-21-7P 186804-22-8P 186804-23-9P 186804-24-0P 186804-46-6P 186804-48-8P 198978-69-7P 198978-71-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antibacterial activity of pyrimidines)

L15 ANSWER 23 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:101958 HCAPLUS Full-text
DOCUMENT NUMBER: 126:157468
TITLE: Synthesis and biological activity of some pyrimidine derivatives
AUTHOR(S): Pluta, J.; Flendrich, M.; Cieplik, J.
CORPORATE SOURCE: Dep. Applied Pharmacy, School Medicine, Wroclaw, 50-137, Pol.
SOURCE: Bollettino Chimico Farmaceutico (1996), 135(8), 459-464
CODEN: BCFAAI; ISSN: 0006-6648
PUBLISHER: Societa Editoriale Farmaceutica
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 13 Feb 1997
AB Some new pyrimidine derivs. were prepared and the influence of their structure (particularly, the significance of substitution at C-5) on their antibacterial properties was investigated.
IT 186804-30-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and bactericidal activity of pyrimidine derivs.)
RN 186804-30-8 HCAPLUS
CN 5-Pyrimidinemethanol, 4-[(4-methoxyphenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)




CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 10
IT 186804-30-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and bactericidal activity of pyrimidine derivs.)
IT 164926-96-9P 186804-26-2P 186804-27-3P 186804-28-4P
186804-29-5P 186804-31-9P 186804-32-0P
186804-33-1P 186804-34-2P 186804-35-3P
186804-36-4P 186804-37-5P 186804-38-6P
186804-39-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of pyrimidine derivs.)
IT 617-89-0, 2-(Aminomethyl)furan 94037-04-4 160944-65-0
164926-93-6 178380-71-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and bactericidal activity of pyrimidine derivs.)
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186804-14-8P 186804-15-9P 186804-16-0P
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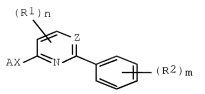
186804-20-6P 186804-21-7P 186804-22-8P

186804-23-9P 186804-24-0P 186804-25-1P
186804-44-4P 186804-46-6P 186804-48-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and bactericidal activity of pyrimidine derivs.)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 24 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:544043 HCAPLUS Full-text
DOCUMENT NUMBER: 125:195679
TITLE: Herbicidal 2,6-disubstituted pyridines and 2,4-disubstituted pyrimidines
INVENTOR(S): Kleemann, Axel; Baltruschat, Helmut S.; Huelsen, Thekla; Maier, Thomas; Scheiblich, Stefan
PATENT ASSIGNEE(S): American Cyanamid Company, USA; BASF Aktiengesellschaft
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 723960 | A1 | 19960731 | EP 1996-300454 | 19960123 |
| EP 723960 | B1 | 20030402 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| CZ 290330 | B6 | 20020717 | CZ 1996-175 | 19960119 |
| IL 116855 | A | 20010111 | IL 1996-116855 | 19960122 |
| ZA 9600529 | A | 19970723 | ZA 1996-529 | 19960123 |
| AT 236124 | T | 20030415 | AT 1996-300454 | 19960123 |
| CA 2167982 | A1 | 19960727 | CA 1996-2167982 | 19960124 |
| AU 9642164 | A | 19960801 | AU 1996-42164 | 19960124 |
| AU 710816 | B2 | 19990930 | | |
| IN 182759 | A1 | 19990710 | IN 1996-CA139 | 19960124 |
| IN 1996CA00127 | A | 20050304 | IN 1996-CA127 | 19960124 |
| JP 08277268 | A | 19961022 | JP 1996-30101 | 19960125 |
| JP 4049405 | B2 | 20080220 | | |
| HU 9600161 | A2 | 19970228 | HU 1996-161 | 19960125 |
| HU 9600161 | A3 | 19970828 | | |
| HU 221864 | B1 | 20030228 | | |
| BR 9600222 | A | 19980106 | BR 1996-222 | 19960125 |
| RU 2134261 | C1 | 19990810 | RU 1996-101815 | 19960125 |
| SK 284993 | B6 | 20060406 | SK 1996-109 | 19960125 |
| CN 1143078 | A | 19970219 | CN 1996-102547 | 19960126 |
| CN 1135226 | B | 20040121 | | |
| US 5824624 | A | 19981020 | US 1996-761479 | 19961206 |
| CZ 290340 | B6 | 20020717 | CZ 2001-1783 | 20010522 |
| PRIORITY APPLN. INFO.: | | | EP 1995-101057 A | 19950126 |
| | | | US 1995-454044 B1 | 19950530 |
| | | | CZ 1996-175 A3 | 19960119 |

OTHER SOURCE(S): MARPAT 125:195679
ED Entered STN: 12 Sep 1996
GI



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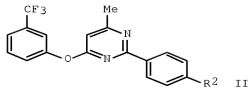


II

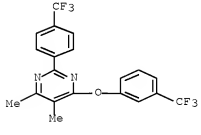
AB New pyridine and pyrimidine derivs. are disclosed, specifically I [A = (un)substituted aryl or (un)substituted 5- or 6-membered N-containing heteroarom. group or difluorobenzodioxolyl; m = 0-5; n = 0-2; R1 (or each R1) = H, halo, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, (di)alkoxyalkyl, alkoxyalkoxy, alkylthio, (di)(alkyl)amino, alkoxyamino, formamidino; R2 (or each R2) = H, halo, (un)substituted alk(en/yn)yl, alkoxy, alkylthio, alkylsulfonyl, alkylsulfinyl, NO2, cyano, haloalkyl, haloalkoxy, haloalkylthio; X = O or S; Z = N or CH; with proviso that if A = 1-methyl-3-trifluoromethylpyrazol-5-yl, n = 0, X = O and Z = CH, then (R2)m ≠ H or 3-CF3 or 2,4-di-Cl or 2,4-di-Me]. I can be prepared by conventional methods, and are particularly useful as herbicides. Over 200 synthetic examples, including I and their intermediates, are given. For instance, etherification of 2-(4-fluorophenyl)-4-chloro-6-methylpyridine (preparation given) with 3-HOC6H4CF3 using K2CO3 in refluxing DMF gave 56.4% title compound II [R2 = F]. The similarly prepared compound II [R2 = CF3] at 300 g/ha preemergence gave complete (9/9) or nearly complete (8/9) control of 10 weeds including Echinochloa crus-galli and Setaria viridis.

IT 180607-37-8P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of disubstituted pyridines and pyrimidines as herbicides)

RN 180607-37-8 HCAPLUS

CN Pyrimidine, 4,5-dimethyl-6-[3-(trifluoromethyl)phenoxy]-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



IC ICM C07D213-00
ICS C07D401-12; C07D213-66; C07D213-64; C07D213-68; C07D239-34; C07D403-12; A01N043-40; A01N043-54; C07D403-14; C07D405-12

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 5

IT 180606-10-4P 180606-11-5P 180606-12-6P 180606-13-7P 180606-21-7P 180606-22-8P 180606-23-9P 180606-24-0P 180606-25-1P 180606-26-2P 180606-27-3P 180606-28-4P 180606-29-5P 180606-30-8P 180606-31-9P 180606-32-0P 180606-33-1P 180606-34-2P 180606-35-3P 180607-16-3P

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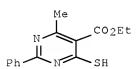
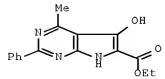
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of disubstituted pyridines and pyrimidines as herbicides)

L15 ANSWER 25 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:309834 HCAPLUS Full-text
DOCUMENT NUMBER: 125:58437
TITLE: Some reactions with ethyl 4-(mercapto/chloro)-6-methyl-2-phenylpyrimidine-5-carboxylate
AUTHOR(S): Assy, M. G.; El-Bahaie, S.; Ibrahim, M. R.; Ibrahim, Y. A.
CORPORATE SOURCE: Fac. Sci., Zagazig Univ., Zagazig, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1996), 35B(6), 598-601
CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: Publications & Information Directorate, CSIR
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 25 May 1996
GI



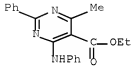
I



II

AB Michael adducts, transesters, and the 4-chloro derivative have been synthesized from 4-mercaptopyrimidine I. The 4-chloro derivative underwent further reaction; for example, reaction with Et glycinate gave pyrrolopyrimidinecarboxylate II.

IT 94037-15-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(addition and substitution reactions of mercapto/chloro-pyrimidinecarboxylate)
RN 94037-15-7 HCAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-methyl-2-phenyl-6-(phenylamino)-, ethyl ester (CA INDEX NAME)

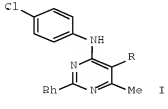


CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 94037-15-7P 128072-68-4P 178380-67-1P 178380-68-2P
178380-69-3P 178380-70-6P 178380-71-7P 178380-72-8P
178380-73-9P 178380-74-0P 178380-75-1P 178380-76-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(addition and substitution reactions of mercapto/chloro-pyrimidinecarboxylate)

L15 ANSWER 26 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:682845 HCAPLUS Full-text
DOCUMENT NUMBER: 123:83387
TITLE: Method of preparing 2-phenyl-4-(4'-chlorophenylamino)-6-methyl-5-(hydroxymethyl)pyrimidine
INVENTOR(S): Machon, Zdzislaw; Cieplik, Jerzy; Wieczorek, Zbigniew; Zimecki, Michal
PATENT ASSIGNEE(S): Akademia Medyczna, Pol.
SOURCE: Pol., 3 pp.
CODEN: POXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Polish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PL 164076 | B1 | 19940630 | PL 1990-284351 | 19900315 |
| PRIORITY APPLN. INFO.: | | | PL 1990-284351 | 19900315 |

OTHER SOURCE(S): CASREACT 123:83387
ED Entered STN: 19 Jul 1995
GI



I

AB Title compound I (R = CH2OH) (II) is prepared by reduction of I (R = CO2Et) with LiAlH4 in anhydrous THF. An example gave 82.2% yield of II. Strong immunostimulant activity was demonstrated by II both in vitro and in vivo, e.g., using the Jerne test and GvH tests (no addnl. data).

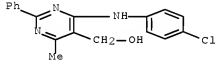
IT 154957-61-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenyl(chlorophenyl)aminomethyl(hydroxymethyl)pyrimidine as immunostimulant)

RN 154957-61-6 HCAPLUS

CN 5-Pyrimidinemethanol, 4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)




IC ICM C07D239-42

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 154957-61-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenyl(chlorophenyl)aminomethyl(hydroxymethyl)pyrimidine as immunostimulant)

IT 94937-17-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(reduction; preparation of phenyl(chlorophenyl)aminomethyl(hydroxymethyl)pyrimidine as immunostimulant)

L15 ANSWER 27 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

| | |
|---|---|
| ACCESSION NUMBER: | 1995:484203 HCAPLUS Full-text |
| DOCUMENT NUMBER: | 123:55795 |
| TITLE: | Synthesis and immunomodulatory activity of 6-methyl-2-phenyl-5-substituted pyrimidines |
| AUTHOR(S): | Cieplik, Jerzy; Machon, Zdzislaw; Zimecki, Michal; Wieczorek, Zbigniew |
| CORPORATE SOURCE: | Dep. Org. Chemistry, Medical Academy, Wroclaw, 50-137, Pol. |
| SOURCE: | Farmaco (1995), 50(2), 131-6<br>CODEN: FRMCE8 |
| PUBLISHER: | Societa Chimica Italiana |
| DOCUMENT TYPE: | Journal |
| LANGUAGE: | English |

ED Entered STN: 12 Apr 1995

AB Various new 4-arylamino-6-methyl-2-phenyl-5-methylamino- and 5-alkoxymethylpyrimidines were synthesized in two chemical series from 4-

arylamino-6-methyl-2-phenyl-5-hydroxymethylpyrimidines. Some of these products display immunomodulatory activities comparable to that of levamisole.

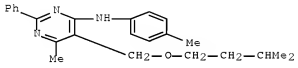
IT 164927-13-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and immunomodulatory activity of substituted pyrimidines)

RN 164927-13-3 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-5-[(3-methylbutoxy)methyl]-N-(4-methylphenyl)-2-phenyl- (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 164927-13-3P 164927-14-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and immunomodulatory activity of substituted pyrimidines)

IT 154957-59-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis and immunomodulatory activity of substituted pyrimidines)

IT 164926-92-5P 164926-93-6P 164927-16-6P
164927-17-7P 164927-18-8P 164927-19-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and immunomodulatory activity of substituted pyrimidines)

IT 164926-94-7P 164926-95-8P 164926-96-9P
164926-97-0P 164926-98-1P 164926-99-2P
164927-00-8P 164927-01-9P 164927-02-0P
164927-03-1P 164927-04-2P 164927-05-3P
164927-06-4P 164927-07-5P 164927-08-6P
164927-09-7P 164927-10-0P 164927-11-1P
164927-12-2P 164927-15-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis and immunomodulatory activity of substituted pyrimidines)

L15 ANSWER 28 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:217281 HCAPLUS Full-text

DOCUMENT NUMBER: 122:128377

TITLE: Antibacterial properties of some 5-pyrimidinecarboxylic acid derivatives

AUTHOR(S): Cieplik, Jerzy; Pluta, Janusz; Flendrich, Mariola

CORPORATE SOURCE: Inst. Org. Chem., Sch. Med., Wroclaw, 50137, Pol.

SOURCE: Acta Poloniae Pharmaceutica (1994), 51(1), 59-62
CODEN: APPHAX; ISSN: 0001-6837

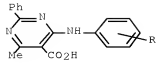
PUBLISHER: Polish Pharmaceutical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 30 Nov 1994

GI



I

AB Antibacterial screening data against Staphylococcus aureus, Proteus vulgaris, Pseudomonas aeruginosa and Escherichia coli were reported for I [R = 2-Cl, 4-Cl, 3,4-Cl2, 3,5-Cl2, 4-OH, 4-Me, and 4-Cl, 3-F (II)] as well for their Et esters. Highest activity (MIC 6 μg/mL with all strains) was noted with II. I (R = 4-OH) and its Et ester were prepared by known methods.

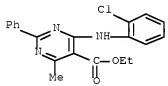
IT 94036-93-8

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(antibacterial properties of some 5-pyrimidinecarboxylic acid derivs.)

RN 94036-93-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(2-chlorophenyl)amino]-6-methyl-2-phenyl-, ethyl ester (CA INDEX NAME)



CC 10-5 (Microbial, Algal, and Fungal Biochemistry)

IT 94036-93-8 94036-94-9 94036-97-2
94036-99-4 94037-00-0 94037-01-1
94037-17-9 154957-57-0 154957-58-1
160944-62-7 160944-63-8 160944-64-9
160944-65-0 160944-66-1

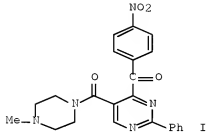
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(antibacterial properties of some 5-pyrimidinecarboxylic acid derivs.)

L15 ANSWER 29 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

| | |
|---|---|
| ACCESSION NUMBER: | 1995:75794 HCAPLUS Full-text |
| DOCUMENT NUMBER: | 122:55996 |
| TITLE: | Studies of cerebral protective agents. VI. Synthesis of novel 4-(4-nitrobenzoyl)pyrimidine and related compounds with antianoxic activity |
| AUTHOR(S): | Ohkubo, Mitsuru; Kuno, Atsushi; Sakai, Hiroyoshi; Sugiyama, Yoshie; Takasugi, Hisashi |
| CORPORATE SOURCE: | New Drug Res. Lab., Fujisawa Pharmaceutical Co., Ltd., Osaka, 532, Japan |
| SOURCE: | Chemical & Pharmaceutical Bulletin (1994), 42(6), 1279-85<br>CODEN: CPBTAL; ISSN: 0009-2363 |

DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 08 Nov 1994
GI



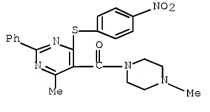
AB Novel pyrimidine derivs., possessing linkages between the aryl group and the pyrimidine nucleus an the C-4 position, were prepared and tested for antianoxic activity in mice. Among them, 5-(4-methylpiperazin-1- ylcarbonyl)-4-(4-nitrobenzoyl)-2-phenylpyrimidine (FR 76659) (I) possessed significant antianoxic activity (10-100 mg/kg, i.p.) with low acute toxicity (LD50 > 1000 mg/kg, i.p.). Structure-activity relationship in regard to antianoxic activity of this series of compds. were examined

IT 116904-26-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of antianoxic cerebral protective agent [(pyrimidinyl)carbonyl]piperazine)

RN 116904-26-8 HCAPLUS

CN Piperazine, 1-methyl-4-[[6-methyl-4-[(4-nitrophenyl)thio]-2-phenyl-5-pyrimidinyl]carbonyl]- (9CI) (CA INDEX NAME)



CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 103294-21-9DP, analogs and derivs. 116904-25-7P 116904-26-8P 116904-27-9P 116904-28-0P 116904-30-4P 116904-35-9P 116904-53-1P 116904-57-5P 116904-65-5P 116904-66-6P 116904-67-7P 116904-68-8P 116904-69-9P 116924-79-9P 116924-80-2P 159970-99-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of antianoxic cerebral protective agent [(pyrimidinyl)carbonyl]piperazine)

IT 62088-12-4P 76842-84-7P 116904-36-0P 116904-37-1P 116904-38-2P 116904-39-3P 116904-40-6P 116904-41-7P 116904-43-9P 116904-44-0P 116904-45-1P 116904-47-3P 116904-48-4P 116904-51-9P 116904-52-0P 116904-54-2P 116904-55-3P 116904-61-1P 116904-62-2P 116904-63-3P 116904-64-4P 116904-71-3P 159971-00-3P 159971-01-4P 159971-02-5P 159971-04-7P 159971-05-8P 159971-06-9P 159971-07-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of antianoxic cerebral protective agent [(pyrimidinyl)carbonyl]piperazine)

L15 ANSWER 30 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:298579 HCAPLUS Full-text

DOCUMENT NUMBER: 120:298579

TITLE: Synthesis and biological properties of 5-(hydroxymethyl)pyrimidines

AUTHOR(S): Cieplik, Jerzy; Machon, Zdzislaw; Zimecki, Michal; Wieczorek, Zbigniew

CORPORATE SOURCE: Org. Chem. Dep., Med. Acad., Wroclaw, 50-137, Pol.

SOURCE: Archivum Immunologiae et Therapiae Experimentalis (1993), 41(1), 11-15

CODEN: AITEAT; ISSN: 0004-069X

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 11 Jun 1994

AB Reduction of 4-(arylamino)-6-methyl-2-phenyl-5-pyrimidinecarboxylic acid and its Et ester as well as 5,7-dihydrofuro[3,4-d]pyrimidines gave 4-(arylamino)-6-methyl-2-phenyl-5-(hydroxymethyl)pyrimidines exhibiting strong immunomodulatory and cytostatic properties.

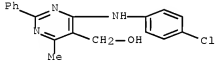
IT 154957-61-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and antitumor and immunomodulatory activity of)

RN 154957-61-6 HCAPLUS

CN 5-Pyrimidinemethanol, 4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)




CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 154957-61-6P 154957-64-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and antitumor and immunomodulatory activity of)

IT 154957-57-6P 154957-58-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

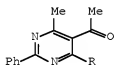
(preparation and reduction of)

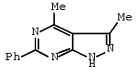
IT 154957-59-8P 154957-60-1P 154957-62-3P 154957-63-4P

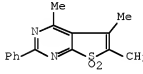
RL: SPN (Synthetic preparation); PREP (Preparation)

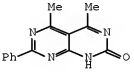
(preparation of)

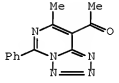
L15 ANSWER 31 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1991:228864 HCAPLUS Full-text
DOCUMENT NUMBER: 114:228864
ORIGINAL REFERENCE NO.: 114:38605a,38608a
TITLE: Synthesis and biological activity of some 4-substituted pyrimidines and fused pyrimidines
AUTHOR(S): El-Bahaie, S.; El-Deeb, A.; Assy, M. C.
CORPORATE SOURCE: Fac. Sci., Zagazig Univ., Zagazig, Egypt
SOURCE: Pharmazie (1991), 46(1), 26-8
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:228864
ED Entered STN: 15 Jun 1991
GI


I


IV


V

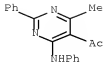

VI


VII

AB Reaction of acetylpyrimidine I (R = SH) with acrylonitrile and Cl gave I [R = SCH2CH2CN (II), Cl (III)] resp. II reacted with N2H4 and KMnO4 in presence of H2SO4 to give pyrazolopyrimidine IV and thienopyrimidine V resp. Reaction of III with aromatic amines, PhNHNH2, urea and NaN3 gave I (R = NHR1, NHNHPh, R1 = substituted Ph), pyrimidopyrimidine VI, and tetrazolopyrimidine VII resp. Other reactions of III are also reported. Most of the prepared compds. were tested for antibacterial activity and most were active.

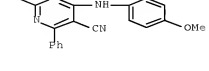
IT 133761-04-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibacterial activity of)

RN 133761-04-3 HCAPLUS
CN Ethanone, 1-[4-methyl-2-phenyl-6-(phenylamino)-5-pyrimidinyl]- (CA INDEX NAME)




CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 10
IT 117831-37-5P 117831-38-6P 133761-03-2P 133761-04-3P
133761-05-4P 133761-06-5P 133761-08-7P 133761-20-3P
133782-27-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibacterial activity of)
IT 133761-21-4P 133761-22-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, intramol. cyclization and antibacterial activity of)

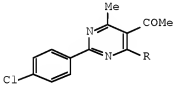
L15 ANSWER 32 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1991:207176 HCAPLUS Full-text
DOCUMENT NUMBER: 114:207176
ORIGINAL REFERENCE NO.: 114:34943a,34946a
TITLE: Synthesis of 4-oxo-, 4-thioxo-, or 4-aminopyrimidines from 1,2,4-dithiazolium salts
AUTHOR(S): Briel, Detlef
CORPORATE SOURCE: Sekt. Biowissenschaft., Univ. Leipzig, Leipzig, 7010, Ger. Dem. Rep.
SOURCE: Liebigs Annalen der Chemie (1991), (4), 345-8
CODEN: LACHDL; ISSN: 0170-2041
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:207176
ED Entered STN: 31 May 1991
GI For diagram(s), see printed CA Issue.
AB NCCR:CR1NHCSR1 (R = CO2Et, R1 = Ph, 4-ClC6H4, 4-MeOC6H4, 4-MeC6H4, 3-MeC6H4; R = cyano, R1 = Ph), prepared from 1,2,4-dithiazolium salts and RCH2CN, give pyrimidines I - III on treatment with secondary amines, R2NH2 (R2 = Me, 1-naphthyl, 4-MeOC6H4), and NH4OAc resp. A possible mechanism for these reactions is discussed.
IT 64499-36-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 64499-36-1 HCAPLUS
CN 5-Pyrimidinecarbonitrile, 4-[(4-methoxyphenyl)amino]-2,6-diphenyl- (CA INDEX NAME)



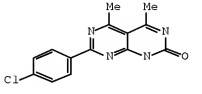

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 13996-08-2P 64499-36-1P 83610-02-0P 106393-88-8P
106393-89-9P 106393-90-2P 118879-55-3P 131435-73-9P
131435-74-0P 131435-75-1P 131435-76-2P 131456-61-6P 131456-62-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

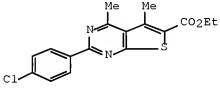
L15 ANSWER 33 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1990:591285 HCAPLUS Full-text
DOCUMENT NUMBER: 113:191285
ORIGINAL REFERENCE NO.: 113:32384h,32385a
TITLE: Synthesis of pyrimido[4,5-d]pyrimidine, thieno[2,3-d]pyrimidines and 4-substituted pyrimidines
AUTHOR(S): El-Bahaie, S.; Assy, M. G.; Heikal, A. F.
CORPORATE SOURCE: Fac. Sci., Zagazig Univ., Zagazig, Egypt
SOURCE: Journal of the Indian Chemical Society (1990), 67(4), 327-9
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:191285
ED Entered STN: 23 Nov 1990
GI




I




II



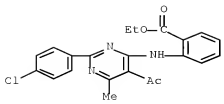

III

AB Reaction of chloropyrimidine I (R = Cl) with R1NH2 (R1 = Ph, 2-C6H4CO2H, 2-C6H4CO2Et) and PhNHNH2 gave amino derivs. I (R = NHR1, NHNHPh) resp. Cyclocondensation of I (R = Cl) with urea in EtOH gave pyrimidopyrimidine II. Fusion of I (R = Cl) with Et 2-mercaptoacetate gave thienopyrimidine III. Other reactions of I (R = Cl) are also reported.

IT 130102-83-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

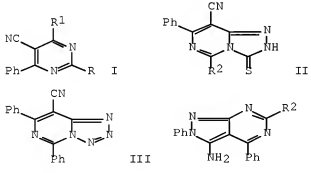
RN 130102-83-9 HCAPLUS

CN Benzoic acid, 2-[[5-acetyl-2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 130102-83-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
IT 128126-71-6P 130102-81-7P 130102-82-8P 130102-84-0P
130102-85-1P 130102-86-2P 130102-88-4P 130102-89-5P 130102-90-8P
130102-91-9P 130103-14-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L15 ANSWER 34 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1989:515128 HCAPLUS Full-text
DOCUMENT NUMBER: 111:115128
ORIGINAL REFERENCE NO.: 111:19307a,19310a
TITLE: Azolopyrimidines and pyrimidoquinazolines from 4-chloropyrimidines
AUTHOR(S): El-Reedy, A. M.; Ali, A. S.; Ayyad, A. O.
CORPORATE SOURCE: Fac. Sci., Univ. Cairo, Giza, Egypt
SOURCE: Journal of Heterocyclic Chemistry (1989), 26(2), 313-16
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:115128
ED Entered STN: 01 Oct 1989
GI



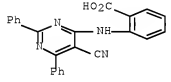
AB 5-Cyano-3,4-dihydro-6-phenyl-2-substituted pyrimidinones reacted with phosphorus oxychloride to give the corresponding 4-chloropyrimidine derivs. I (R = Ph, NHPh, NHCH2Ph, R1 = Cl). Compds. I (R1 = Cl) reacted with aniline

and hydrazine to yield I (R = Ph, NHPh, NHCH2Ph; R1 = NHPh, NHNH2). The hydrazino derivs. could be converted into the triazolo- and tetrazolopyrimidines II (R2 = Ph, NHCH2Ph) and III by the action of CS2 and nitrous acid, resp. The reaction of I (R = NHPh, NHCH2Ph; R1 = Cl) with phenylhydrazine afforded directly the 5-amino-4,6-diphenyl-6H-2- substituted pyrazolopyrimidines IV (same R2). The 4-chloro derivative I (R = Ph, R1 = Cl) reacted with anthranilic acid to form the 5-cyano-2,4-diphenyl-6-(o-carboxyphenylamino)pyrimidine, which could be cyclized into the 4-cyano-1,3-diphenyl-10H-pyrimido[6,1-b]quinazolin-10- one by heating with acetic anhydride.

IT 122379-76-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and intramol. cyclocondensation reaction of, cyanopyrimidoquinazolinone from)

RN 122379-76-4 HCAPLUS

CN Benzoic acid, 2-[(5-cyano-2,6-diphenyl-4-pyrimidinyl)amino]- (CA INDEX NAME)




CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

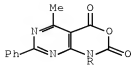
IT 122379-76-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and intramol. cyclocondensation reaction of, cyanopyrimidoquinazolinone from)

IT 67677-96-7P 122379-69-5P 122379-70-8P 122379-71-9P
122379-72-0P 122379-73-1P 122379-74-2P 122379-75-3P 122379-77-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

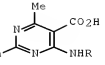
L15 ANSWER 35 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1989:192843 HCAPLUS Full-text
DOCUMENT NUMBER: 110:192843
ORIGINAL REFERENCE NO.: 110:32017a,32020a
TITLE: Process for preparing novel 2H-pyrimido[5,4-d][1,3]oxazine-2,4-diones
INVENTOR(S): Machon, Zdzislaw; Cieplik, Jerzy; Mulczyk, Marian
PATENT ASSIGNEE(S): Akademia Medyczna, Wroclaw, Pol.
SOURCE: Pol., 3 pp.
CODEN: POXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Polish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PL 130888 | B2 | 19840929 | PL 1982-238609 | 19821011 |
| PRIORITY APPLN. INFO.: | | | PL 1982-238609 | 19821011 |
| OTHER SOURCE(S): | CASREACT 110:192843 | | | |

ED Entered STN: 26 May 1989
GI



I



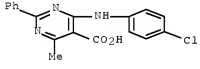
II

AB The title compds. [I; R = 4-ClC6H4, 3,4-Cl2C6H3, 4,3-Cl(F3C)C6H3] are prepared by heating 2-phenyl-4-thio-6-methylpyrimidine-5-carboxylic acid with the corresponding anilines at 180-200°8 to obtain aminopyrimidine II which is treated with ClCO2Et at room temperature The overall yield of I was 21.7, 48, or 42% for R = 4-ClC6H4, 3,4-Cl2C6H3, or 4,3-Cl(F3C)C6H3, resp., after crystallization from Me2CO. The compds. inhibit the growth of Staphylococci, including Staphylococcus aureus, Streptococci, Corynebacteria, and other pathogens in concns. of 50-3 µg/mL.

IT 94036-97-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with Et chloroformate)

RN 94036-97-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



IC C07D498-04

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 94036-97-2P 94037-00-0P 118564-47-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with Et chloroformate)

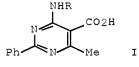
L15 ANSWER 36 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

| | |
|---|---|
| ACCESSION NUMBER: | 1989:23907 HCAPLUS Full-text |
| DOCUMENT NUMBER: | 110:23907 |
| ORIGINAL REFERENCE NO.: | 110:4049a,4052a |
| TITLE: | Process for preparing novel aminopyrimidinecarboxylates |
| INVENTOR(S): | Machon, Zdzislaw; Cieplik, Jerzy; Mulczyk, Marian |
| PATENT ASSIGNEE(S): | Akademia Medyczna, Wroclaw, Pol. |
| SOURCE: | Pol., 2 pp.<br>CODEN: POXXA7 |
| DOCUMENT TYPE: | Patent |
| LANGUAGE: | Polish |

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PL 130887 | B2 | 19840929 | PL 1982-238608 | 19821011 |

PRIORITY APPLN. INFO.: PL 1982-238608 19821011
OTHER SOURCE(S): CASREACT 110:23907
ED Entered STN: 21 Jan 1989
GI

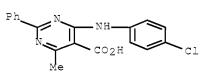



I

AB The title compds. (I; R = 4-ClC6H4, 3,4-Cl2C6H3) are prepared by heating 2-phenyl-4-thio-6-methylpyrimidine-5-carboxylic acid with 4-ClC6H4NH2 or 3,4-Cl2C6H3NH2 at 180-200°. I (R = 4-ClC6H4) and I (R = 3,4-Cl2C6H3) were obtained in a yield of 58.9 or 59.0%, resp., after crystallization from MeOH-CHCl3 containing pyridine. Both compds. inhibit the growth of gram-pos. bacteria in concns. of 50-12.5 μg/mL.

IT 94036-97-2P, 4-(p-Chloroanilino)-6-methyl-2-phenylpyrimidine-5-carboxylic acid
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as bactericide)

RN 94036-97-2 HCAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



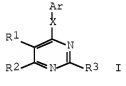

IC C07D239-42
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 95-76-1P, 3,4-Dichloroaniline 94036-97-2P, 4-(p-Chloroanilino)-6-methyl-2-phenylpyrimidine-5-carboxylic acid 94037-00-0P, 4-(3,4-Dichloroanilino)-6-methyl-2-phenylpyrimidine-5-carboxylic acid
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as bactericide)

L15 ANSWER 37 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1988:570451 HCAPLUS Full-text
DOCUMENT NUMBER: 109:170451

ORIGINAL REFERENCE NO.: 109:28279a,28282a
TITLE: Preparation of pyrimidine derivatives as drugs for treating disease and disorders of cerebral blood vessels
INVENTOR(S): Takatani, Takao; Takasugi, Hisashi; Kuno, Atsushi; Sugiyama, Yoshie; Sakai, Hiroyoshi; Okubo, Mitsuru
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

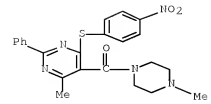
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 63107966 | A | 19880512 | JP 1987-124326 | 19870520 |

PRIORITY APPLN. INFO.: JP 1986-117800 A1 19860522
OTHER SOURCE(S): CASREACT 109:170451; MARPAT 109:170451
ED Entered STN: 12 Nov 1988
GI



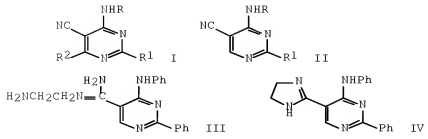
I

AB The title compds. [I; Ar = (nitro or habalkyl)aryl, fused benzene-heterocyclyl containing N or O; X = bond, lower hydroxyalkylene, lower alkenylene, NH, S, CO; R1 = (esterified) CO2H, lower hydroxyalkyl, lower haloalkyl, (N-substituted) CONH2 or lower aminoalkyl; R2 = H, lower alkyl; optionally R1R2 completing (substituted) N-containing heterocycle; R3 = aryl], were prepared as drugs e.g. for treating apoplexy. A mixture of 6-bromomethyl-4-(3-nitrophenyl)2-phenyl-5-pyrimidinecarboxylic acid Me ester and Me2NCH2CHNH2 in iso-PrOH was stirred at 70° for 1 h to give 6-[2-(dimethylamino)ethyl]4-(3-nitrophenyl)-5-oxo-2-phenyl-6,7- dihydropyrrolo[3,4-d]pyrimidine. The latter at 10 mg/kg i.p. extended the survival time of mice from 28.2 ± 1.1 s (control) to 33.6 ± 2.9 s when the mice were exposed to 100% N atmospheric
IT 116904-26-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as drug for treating apoplexy)
RN 116904-26-8 HCAPLUS
CN Piperazine, 1-methyl-4-[[6-methyl-4-[(4-nitrophenyl)thio]-2-phenyl-5-pyrimidinyl]carbonyl]- (9CI) (CA INDEX NAME)



IC ICM C07D239-28
ICS A61K031-505; C07D239-32; C07D239-42; C07D403-06; C07D413-04; C07D487-04
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
IT 103294-21-9P 116904-11-1P 116904-12-2P 116904-13-3P 116904-14-4P
116904-15-5P 116904-16-6P 116904-17-7P 116904-18-8P 116904-19-9P
116904-20-2P 116904-21-3P 116904-22-4P 116904-23-5P 116904-24-6P
116904-25-7P 116904-26-8P 116904-27-9P 116904-28-0P
116904-29-1P 116904-30-4P 116904-31-5P 116904-32-6P 116904-33-7P
116904-34-8P 116904-35-9P 116904-36-0P 116904-37-1P 116904-38-2P
116904-39-3P 116904-40-6P 116904-41-7P 116904-42-8P
116904-43-9P 116904-44-0P 116904-45-1P 116904-46-2P
116904-47-3P 116904-48-4P 116904-49-5P 116904-50-8P 116904-51-9P
116904-52-0P 116904-53-1P 116904-54-2P 116904-55-3P
116904-56-4P 116904-57-5P 116904-58-6P 116904-59-7P
116904-60-0P 116904-61-1P 116904-62-2P 116904-63-3P 116904-64-4P
116904-65-5P 116904-66-6P 116904-67-7P 116904-68-8P 116904-69-9P
116904-78-0P 116924-79-9P 116924-80-2P 117699-25-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as drug for treating apoplexy)

L15 ANSWER 38 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1988:570349 HCAPLUS Full-text
DOCUMENT NUMBER: 109:170349
ORIGINAL REFERENCE NO.: 109:28255a,28258a
TITLE: Reaction of 4-(arylamino)-5-cyanopyrimidines with some aliphatic amines
AUTHOR(S): Robev, S.
CORPORATE SOURCE: Med. Fak., Sofia, 1431, Bulg.
SOURCE: Doklady Bolgarskoi Akademii Nauk (1987), 40(11), 75-8
CODEN: DBANAD; ISSN: 0366-8681
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 109:170349
ED Entered STN: 12 Nov 1988
GI

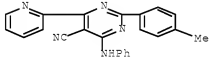


AB Reactions of a range of aminopyrimidinecarbonitriles with aliphatic amines, especially H2NCH2CH2NH2 and Me2NCH2CH2CH2NH2, were studied. I (e.g., R = R1 = R2 = Ph) underwent simple amine exchange, while II formed amidines, e.g., III, which cyclized on heating to give IV.

IT 64499-25-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(aminolysis of, with dimethylpropanediamine)

RN 64499-25-8 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2-(4-methylphenyl)-4-(phenylamino)-6-(2-pyridinyl)- (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 64499-25-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(aminolysis of, with dimethylpropanediamine)

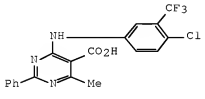
IT 64499-03-2 67677-96-7 67677-99-0 116749-65-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(aminolysis of, with ethylenediamine)

L15 ANSWER 39 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

| | |
|---|---|
| ACCESSION NUMBER: | 1988:131843 HCAPLUS Full-text |
| DOCUMENT NUMBER: | 108:131843 |
| ORIGINAL REFERENCE NO.: | 108:21635a,21638a |
| TITLE: | Preparation of 4-[[4-chloro-3-(trifluoromethyl)phenyl]amino]-6-methyl-2-phenyl-5-pyrimidinecarboxylic acid as a bactericide intermediate |
| INVENTOR(S): | Machon, Zdzislaw; Cieplik, Jerzy; Mulczyk, Marian |
| PATENT ASSIGNEE(S): | Akademia Medyczna, Wroclaw, Pol. |
| SOURCE: | Pol., 2 pp.<br>CODEN: POXXA7 |
| DOCUMENT TYPE: | Patent |
| LANGUAGE: | Polish |
| FAMILY ACC. NUM. COUNT: | 1 |
| PATENT INFORMATION: | |

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PL 130008 | B2 | 19840630 | PL 1982-238610 | 19821011 |

PRIORITY APPLN. INFO.: PL 1982-238610 19821011
OTHER SOURCE(S): CASREACT 108:131843
ED Entered STN: 15 Apr 1988
GI

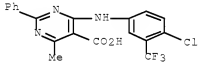


I

AB The title compound (I) is prepared by melting 4-mercapto-6-methyl-2-phenyl-5-pyrimidinecarboxylic acid (II) together with 4,3-Cl(F3C)C6H3NH2 (III) at 180-200°. I is an intermediate for preparation of the bactericide 1-[4-chloro-3-(trifluoromethyl)phenyl]-5-methyl-7-phenyl-2H-pyrimidino[4,5- d][1,3]oxazine-2,4(1H)-dione. Thus, 5 g II was melted with 4 g III for 5h at 190° and the product crystallized from MeOH to give 3.8 g (58%) I.

IT 94037-01-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as bactericide intermediate)

RN 94037-01-1 HCAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-[[4-chloro-3-(trifluoromethyl)phenyl]amino]-6-methyl-2-phenyl- (CA INDEX NAME)



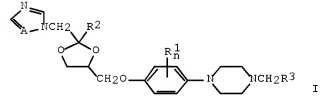
IC C07D239-42
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
IT 94037-01-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as bactericide intermediate)

L15 ANSWER 40 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1988:21928 HCAPLUS Full-text
DOCUMENT NUMBER: 108:21928
ORIGINAL REFERENCE NO.: 108:3727a,3730a
TITLE: Preparation of azolylaryl(piperazinylphenoxy)dioxolanes as medical fungicides
INVENTOR(S): Kampe, Klaus Dieter; Raether, Wolfgang; Dittmar, Walter; Haenel, Heinz
PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 49 pp.

CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 3609598 | A1 | 19871001 | DE 1986-3609598 | 19860321 |
| EP 237962 | A2 | 19870923 | EP 1987-103588 | 19870312 |
| EP 237962 | A3 | 19890322 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| FI 8701206 | A | 19870922 | FI 1987-1206 | 19870319 |
| ZA 8702021 | A | 19871028 | ZA 1987-2021 | 19870319 |
| HU 48236 | A2 | 19890529 | HU 1987-1220 | 19870319 |
| US 4859670 | A | 19890822 | US 1987-28193 | 19870319 |
| DK 8701440 | A | 19870922 | DK 1987-1440 | 19870320 |
| NO 8701165 | A | 19870922 | NO 1987-1165 | 19870320 |
| AU 8770422 | A | 19870924 | AU 1987-70422 | 19870320 |
| AU 590692 | B2 | 19891109 | | |
| JP 62230781 | A | 19871009 | JP 1987-64427 | 19870320 |
| IL 81950 | A | 19910630 | IL 1987-81950 | 19870320 |
| CA 1294280 | C | 19920114 | CA 1987-532655 | 19870320 |

PRIORITY APPLN. INFO.: DE 1986-3609598 A 19860321
OTHER SOURCE(S): MARPAT 108:21928
ED Entered STN: 23 Jan 1988
GI



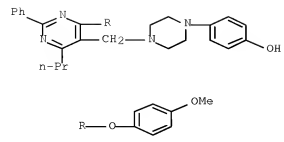
I

AB The title compds. [I; R1 = C1-3 alkyl, F, Cl; R2 = naphthyl, thienyl, halothienyl, (substituted) Ph; Y = (substituted) phenylpyrimidinyl, phenylpyridyl, quinolyl, isoquinolyl; A = CH, N; n = 0-2] were prepared as medicinal fungicides. cis-2-S(R)-(2,4-Dichlorophenyl)-2-(1,2,4-triazol-lylmethyl)-4-R(S)methanesulfonyloxymethyl-1,3-dioxolane in DMF was added to a mixture of 4-[[4-(4-hydroxyphenyl)-1-piperazinyl]methyl]-6-methoxy-2-phenylpyrimidine and NaH in DMF and the mixture was refluxed 4 h to give 66.6% I (R1 = H, R2 = 2,4-Cl2C6H3, R3 = 6-methoxy-2-phenyl-4-pyrimidinyl, A = N). I were up to 60% more effective than terconazole against Trichophyton mentagrophytes.

IT 111921-44-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for medicinal fungicide)

RN 111921-44-9 HCAPLUS

CN Phenol, 4-[4-[[4-(4-methoxyphenoxy)-2-phenyl-6-propyl-5-pyrimidinyl]methyl]-1-piperazinyl]- (CA INDEX NAME)



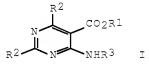
IC ICM C07D405-14
ICS C07D239-26; C07D239-28; C07D239-30; C07D239-34; C07D239-36; C07D213-04; C07D215-02; C07D217-02; A01N043-50; A01N043-54; A01N043-653
ICA C07D233-60
ICI C07D249-08, C07D213-36, C07D213-62, C07D215-12, C07D239-26, C07D239-28, C07D239-34
CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
IT 111921-21-2P 111921-22-3P 111921-23-4P 111921-24-5P 111921-25-6P
111921-26-7P 111921-27-8P 111921-28-9P 111921-29-0P 111921-30-3P
111921-31-4P 111921-32-5P 111921-33-6P 111921-34-7P 111921-35-8P
111921-36-9P 111921-37-0P 111921-38-1P 111921-39-2P 111921-40-5P
111921-41-6P 111921-42-7P 111921-43-8P 111921-44-9P
111921-45-0P 111921-46-1P 111921-47-2P 111921-48-3P 111921-49-4P
111921-50-7P 111921-51-8P 111921-52-9P 111921-53-0P 111921-54-1P
111921-55-2P 111921-56-3P 111921-57-4P 111921-58-5P 111921-59-6P
111921-60-9P 111933-28-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for medicinal fungicide)
IT 75050-34-9P 75050-35-0P 75050-36-1P 75050-37-2P 75050-38-3P
75050-39-4P 111920-67-3P 111920-68-4P 111920-69-5P 111920-70-8P
111920-71-9P 111920-72-0P 111920-73-1P 111920-74-2P 111920-75-3P
111920-76-4P 111920-77-5P 111920-78-6P 111920-79-7P 111920-80-0P
111920-82-2P 111920-83-3P 111920-84-4P 111920-85-5P 111920-86-6P
111920-87-7P 111920-88-8P 111920-89-9P 111920-90-2P 111920-91-3P
111920-92-4P 111920-93-5P 111920-94-6P 111920-95-7P 111920-96-8P
111920-97-9P 111920-98-0P 111920-99-1P 111921-00-7P 111921-01-8P
111921-02-9P 111921-03-0P 111921-04-1P 111921-05-2P 111921-06-3P
111921-07-4P 111921-08-5P 111921-09-6P 111921-10-9P 111921-11-0P
111921-12-1P 111921-13-2P 111921-14-3P 111921-15-4P 111921-16-5P
111921-17-6P 111921-18-7P 111921-19-8P 111921-20-1P 111943-47-6P
111943-48-7P 111943-49-8P 111943-50-1P 111943-51-2P
111943-52-3P 111943-53-4P 111943-53-4P 111973-80-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as medicinal fungicide)

L15 ANSWER 41 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1987:67341 HCAPLUS Full-text
DOCUMENT NUMBER: 106:67341
ORIGINAL REFERENCE NO.: 106:11079a,11082a
TITLE: 2,6-Diaryl-(4-arylamino)-5-pyrimidinecarboxylic acid esters
INVENTOR(S): Briel, Detlef; Wagner, Guenther

PATENT ASSIGNEE(S): Karl-Marx-Universitaet Leipzig, Ger. Dem. Rep.
SOURCE: Ger. (East), 4 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DD 236310 | A1 | 19860604 | DD 1984-266541 | 19840823 |

PRIORITY APPLN. INFO.: DD 1984-266541 19840823
OTHER SOURCE(S): CASREACT 106:67341
ED Entered STN: 07 Mar 1987
GI



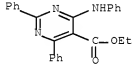
I

AB Pyrimidines I [R1 = C1-6 alkyl; R2, R3 = (un)substituted aryl], of pharmaceutical interest, were prepared by cyclization of NCC(CO2R1):CR2NHC(S)R2 (II) with H2NR3. A mixture of II (R1 = Et, R2 = Ph) 1 and PhNH2 0.28 part in MeCH(OH)CH2OH was kept 7 days at room temperature to give 52% I (R3 = Et, R2 = R3 = Ph).

IT 105849-65-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as pharmaceutical)

RN 105849-65-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2,4-diphenyl-6-(phenylamino)-, ethyl ester (CA INDEX NAME)



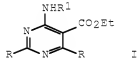
IC ICM C07D239-42

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 63

IT 105849-65-8P 105849-66-9P 105849-67-0P
105849-68-1P 105849-69-2P 105849-70-5P
105849-71-6P 106393-88-8P 106393-89-9P
106393-90-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

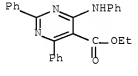
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as pharmaceutical)

L15 ANSWER 42 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1987:32963 HCAPLUS Full-text
DOCUMENT NUMBER: 106:32963
ORIGINAL REFERENCE NO.: 106:5527a,5530a
TITLE: Preparation of 4-(arylamino)pyrimidine-5-carboxylic acid esters from 2-cyano-3-(thioaroylamido)cinnamic acid esters and arylamines
AUTHOR(S): Briel, D.; Wagner, G.
CORPORATE SOURCE: Sekt. Biowiss., Karl-Marx-Univ., Leipzig, DDR-7010, Ger. Dem. Rep.
SOURCE: Pharmazie (1985), 40(11), 799-800
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 106:32963
ED Entered STN: 07 Feb 1987
GI



I

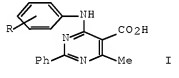
AB Cyclization of RC(S)NHCR:C(CN)CO2Et (R = Ph, m-, p-tolyl) with R1NH2 (R1 = Ph, m-tolyl, p-anisyl, p-ClC6H4, p-HOC6H4) in methylglycol-HOAc gave 33-62% 7 pyrimidinecarboxylates I.
IT 105849-65-8P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and spectra of)
RN 105849-65-8 HCAPLUS
CN 5-Pyrimidinecarboxylic acid, 2,4-diphenyl-6-(phenylamino)-, ethyl ester (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 105849-65-8P 105849-66-9P 105849-67-0P
105849-68-1P 105849-69-2P 105849-70-5P
105849-71-6P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and spectra of)

L15 ANSWER 43 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:572394 HCAPLUS Full-text
DOCUMENT NUMBER: 105:172394
ORIGINAL REFERENCE NO.: 105:27785a,27788a
TITLE: Synthesis of furo[3,4-d]pyrimidine derivatives via reaction of 4-methylpyrimidine-5-carboxylic acids with thionyl chloride
AUTHOR(S): Machon, Z.; Cieplik, J.
CORPORATE SOURCE: Dep. Org. Chem., Med. Acad., Wroclaw, Pol.
SOURCE: Synthesis (1986), (2), 142-4
CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:172394
ED Entered STN: 15 Nov 1986
GI



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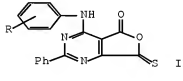
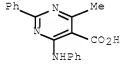


II

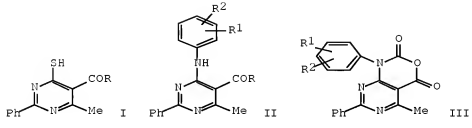
AB Cyclization of pyrimidines I (R = H, o- and p-Cl, p-EtO) with SOCl2 in boiling benzene gave 57-73% furopyrimidines II.
IT 94036-95-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with thionyl chloride)
RN 94036-95-0 HCAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-methyl-2-phenyl-6-(phenylamino)- (CA INDEX NAME)




CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 94036-95-0 94036-96-1 94036-97-2
94036-99-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with thionyl chloride)

L15 ANSWER 44 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1985:45867 HCAPLUS Full-text
DOCUMENT NUMBER: 102:45867
ORIGINAL REFERENCE NO.: 102:7213a,7216a
TITLE: Synthesis and antibacterial activity of 2-phenylpyrimidines and pyrimidine[1,3]oxazines
AUTHOR(S): Machon, Zdzislaw; Cieplik, Jerzy
CORPORATE SOURCE: Dep. Chem., Sch. Med., Wroclaw, 50-137, Pol.

SOURCE: European Journal of Medicinal Chemistry (1984), 19(4), 359-63
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 102:45867
ED Entered STN: 09 Feb 1985
GI

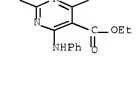


AB Mercaptopyrimidines I (R = OEt, OH) reacted with HNC6H3R1R2 (R1 = H, R2 = H, 4-OEt, 4-Cl, 3-Cl, 2-Cl, 3-CF3; R1 = 4-Cl, R2 = 3-Cl, 3-CF3) to give 32.5-73.5% anilinopyrimidines II, which (R = OH) cyclized to give 21.4-58.2% pyrimidineoxazines III on treatment with EtO2CCl. Treatment of III (R1 = H, R2 = H, 4-OEt, 4-Cl) with anilines and EtO2CCl gave 14.8-78.9% II (R = NHEt, NEt2, NHC6H4OEt-4, NHC6H4Cl-4; same R1, R2). II (R = OH; R1 = H, R2 = H, Cl, 4-OEt, 4-Cl, 3-Cl, 2-Cl, 3-CF3; R1 = 4-Cl, R2 = 3-Cl, 3-CF3) and III (same R1, R2) were tested for antibacterial activity; III (R1 = 4-Cl, R2 = 3-CF3) had a MIC of 3 μg/mL against 6 bacteria of Sarcina, Streptococcus, and Staphylococcus strains, and of 25 μg/mL against 18 bacteria.

IT 94037-15-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

RN 94037-15-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methyl-2-phenyl-6-(phenylamino)-, ethyl ester (CA INDEX NAME)



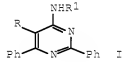
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 10

IT 94037-15-7P 94037-16-8P 94037-17-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

IT 94036-81-4P 94036-82-5P 94036-83-6P

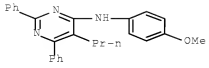
94036-84-7P 94036-85-8P 94036-86-9P
94036-87-0P 94036-88-1P 94036-89-2P
94036-90-5P 94036-91-6P 94036-92-7P
94036-93-8P 94036-94-9P 94037-10-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
IT 55914-58-4P 94036-95-0P 94036-96-1P
94036-97-2P 94036-98-3P 94036-99-4P
94037-00-0P 94037-01-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, bactericidal activity, and cyclization of, with chloroformate,
pyrimidineoxazine by)

L15 ANSWER 45 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1983:612495 HCAPLUS Full-text
DOCUMENT NUMBER: 99:212495
ORIGINAL REFERENCE NO.: 99:32703a,32706a
TITLE: Enamidines. Part 3. Synthesis of 4-aminopyrimidine derivatives from N1-alkenyl-N2-(alkylcarbamoyl)benzamidines
AUTHOR(S): Venayak, Narinder D.; Wakefield, Basil J.
CORPORATE SOURCE: Dep. Chem. Appl. Chem., Univ. Salford, Salford, M5 4WT, UK
SOURCE: Journal of Chemical Research, Synopses (1983), (8), 200-1
CODEN: JRPSDC; ISSN: 0308-2342
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 99:212495
ED Entered STN: 12 May 1984
GI



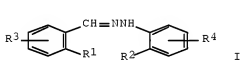

I

AB Heating RCH:CPhNHCPh:NC(Z)NHR1 [(R = Ph, R1 = Me, Me2CH; R = Pr, R1 = 4-MeOC6H4; R = H, R1 = Ph)(Z = O); R = Ph, R1 = Et, Z = S] with .apprx.2 mol equiv 4-MeC6H4SO2Cl in pyridine at 80° for 1.5 h gave the pyrimidine derivs. I (R, R1 as before) in 18-89% yield.
IT 87946-31-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 87946-31-4 HCAPLUS
CN 4-Pyrimidinamine, N-(4-methoxyphenyl)-2,6-diphenyl-5-propyl- (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 25
IT 87946-29-0P 87946-30-3P 87946-31-4P 87946-32-5P
87946-33-6P 87946-34-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L15 ANSWER 46 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1983:487767 HCAPLUS Full-text
DOCUMENT NUMBER: 99:87767
ORIGINAL REFERENCE NO.: 99:13529a,13532a
TITLE: Lithium-mediated rearrangement of sterically hindered aromatic aldehyde aryl hydrazones
AUTHOR(S): Robev, S.
CORPORATE SOURCE: Dep. Pharmacol., Fac. Med., Sofia, 1431, Bulg.
SOURCE: Doklady Bolgarskoi Akademii Nauk (1983), 36(2), 233-6
CODEN: DBANAD; ISSN: 0366-8681
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 99:87767
ED Entered STN: 12 May 1984
GI



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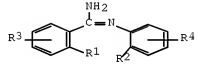
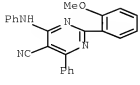


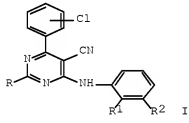
II

AB Boiling hydrazones I (R1 = OMe, OEt, H, Me, Cl; R2 = H, Cl; R3 = H, 3,4-Cl2, 4-Me, 4-Cl; R4 = H, 4-Cl, 5-Cl; 7 compds.) in xylene for 20-30 min in the presence in LiNH2 and O gave 40-70% amidines II.
IT 86726-07-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 86726-07-0 HCAPLUS
CN 5-Pyrimidinecarbonitrile, 2-(2-methoxyphenyl)-4-phenyl-6-(phenylamino)- (CA INDEX NAME)



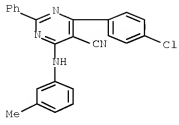
CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
IT 23564-81-0P 86725-96-4P 86725-97-5P 86725-99-7P 86726-00-3P
86726-02-5P 86726-04-7P 86726-06-9P 86726-07-0P
86726-08-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L15 ANSWER 47 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1983:160045 HCAPLUS Full-text
DOCUMENT NUMBER: 98:160045
ORIGINAL REFERENCE NO.: 98:24279a,24282a
TITLE: Electron impact mass spectra of chlorine-containing poly-substituted pyrimidines
AUTHOR(S): Kumanova, B.; Mincheva, M.
CORPORATE SOURCE: Dep. Fundam. Chem. Technol., Higher Inst. Chem.-Technol., Sofia, 1156, Bulg.
SOURCE: Doklady Bolgarskoi Akademii Nauk (1982), 35(9), 1245-8
CODEN: DBANAD; ISSN: 0366-8681
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 12 May 1984
GI



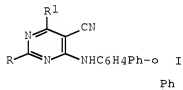
I

AB The electron impact mass spectra of I (R = Ph, β-naphthol; R1 = H, OMe; R2 = H, Me) were recorded. Similar compds. were distinguished by the position of the Cl atom.
IT 76851-25-7
RL: PRP (Properties)
(mass spectrum of)
RN 76851-25-7 HCAPLUS
CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-6-[(3-methylphenyl)amino]-2-phenyl- (CA INDEX NAME)

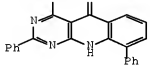


CC 22-8 (Physical Organic Chemistry)
IT 76851-25-7 76851-26-8 76851-29-1 76851-30-4
76851-31-5 76851-32-6 76851-34-8 76851-35-9
76851-36-0 76851-37-1 76851-39-3
RL: PRP (Properties)
(mass spectrum of)

L15 ANSWER 48 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1981:208795 HCAPLUS Full-text
DOCUMENT NUMBER: 94:208795
ORIGINAL REFERENCE NO.: 94:34151a,34154a
TITLE: 2,6-Disubstituted 4-(2-biphenylylamino)-5-cyanopyrimidines
AUTHOR(S): Robev, S.
CORPORATE SOURCE: Inst. Med., Sofia, 1431, Bulg.
SOURCE: Doklady Bolgarskoi Akademii Nauk (1980), 33(6), 791-4
CODEN: DBANAD; ISSN: 0366-8681
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 94:208795
ED Entered STN: 12 May 1984
GI



I

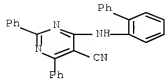


II

III

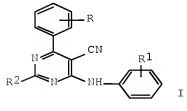
AB The pyrimidines I (R = Ph, R1 = Ph, p-MeC6H4, 2-naphthyl; R = p-MeC6H4, R1 = Ph, p-PhC6H4) were prepared by cyclization of o-PhC6H4N:CRNH2 with R1CH:C(CN)2. I (R = R1 = Ph) was cyclized with polyphosphoric acid to give the pyrimidoquinoline II. I (R = R1 = Ph) was converted to the pyrimidinone III.
IT 77740-00-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclization of)
RN 77740-00-2 HCAPLUS
CN 5-Pyrimidinecarbonitrile, 4-([1,1'-biphenyl]-2-ylamino)-2,6-diphenyl- (CA INDEX NAME)



CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 77740-00-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
IT 15969-46-7P 77740-01-3P 77740-02-4P
77740-03-5P 77756-90-2P 77756-91-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L15 ANSWER 49 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1981:121449 HCAPLUS Full-text
DOCUMENT NUMBER: 94:121449
ORIGINAL REFERENCE NO.: 94:19859a,19862a
TITLE: Preparation of 2,4-disubstituted 6-chlorophenyl-5-cyanopyrimidines
AUTHOR(S): Mincheva, M.
CORPORATE SOURCE: Exp. Tumour Ther. Dep., Oncol. Res. Inst., Sofia, 1156, Bulg.
SOURCE: Doklady Bolgarskoi Akademii Nauk (1980), 33(7), 925-7
CODEN: DBANAD; ISSN: 0366-8681
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 94:121449
ED Entered STN: 12 May 1984
GI



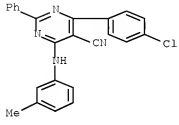
I

AB Pyrimidines I (R = 2-Cl, 3-Cl, 4-Cl; R1 = H, 3-Me, 2-OMe; R2 = Ph, 2-naphthyl, 4-Me2NC6H4) were obtained in 12-46% yield by treating R1C6H4N:CR2NH2 with RC6H4CH:C(CN)2. I had bactericidal activity (no data).
IT 78851-25-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 76851-25-7 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-6-[(3-methylphenyl)amino]-2-phenyl- (CA INDEX NAME)




CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 76851-25-7P 76851-26-8P 76851-27-9P
76851-28-0P 76851-29-1P 76851-30-4P
76851-31-5P 76851-32-6P 76851-33-7P
76851-34-8P 76851-35-9P 76851-36-0P
76851-37-1P 76851-38-2P 76851-39-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L15 ANSWER 50 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1980:128839 HCAPLUS Full-text

DOCUMENT NUMBER: 92:128839

ORIGINAL REFERENCE NO.: 92:21011a,21014a

TITLE: Synthesis of some biphenylyl substituted 5-cyanopyrimidines

AUTHOR(S): Robev, S.

CORPORATE SOURCE: Dep. Pharmacol., Fac. Med., Sofia, 31, Bulg.

SOURCE: Doklady Bolgarskoi Akademii Nauk (1979), 32(3), 309-11
CODEN: DBANAD; ISSN: 0366-8681

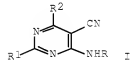
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 92:128839

ED Entered STN: 12 May 1984

GI

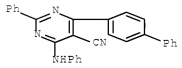


I

AB Cyanopyrimidines I (R = Ph, 2-MeC6H4, 3-MeC6H4, 4-MeC6H4, 4-PhC6H4; R1 = Ph, 4-FC6H4, 4-MeC6H4, 4-PhC6H4; R2 = 4-MeC6H4, 2-naphthyl, 3-BrC6H4, Ph, 4-MeOC6H4, 2-MeOC6H4, 4-PhC6H4) were obtained in 48-70% yield by condensing RN:CR1NH2 with R2CH:C(CN)2.

IT 73713-68-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 72713-00-9 HCAPLUS
CN 5-Pyrimidinecarbonitrile, 4-[1,1'-biphenyl]-4-yl-2-phenyl-6-(phenylamino)-
(CA INDEX NAME)



CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 72713-00-9P 72713-01-0P 72713-02-1P
72713-03-2P 72713-04-3P 72713-05-4P
72713-06-5P 72713-07-6P 72713-08-7P
72713-09-8P 72713-10-1P 72713-11-2P
72713-12-3P 72713-13-4P 72713-14-5P
72727-89-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L15 ANSWER 51 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1979:186887 HCAPLUS Full-text
DOCUMENT NUMBER: 90:186887
ORIGINAL REFERENCE NO.: 90:29697a,29700a
TITLE: Synthesis of pyrimido(4,5-b)quinoline derivatives
AUTHOR(S): Robev, S.
CORPORATE SOURCE: Med. Akad., Sofia, Bulg.
SOURCE: Doklady Bolgarskoi Akademii Nauk (1978), 31(5), 551-4
CODEN: DBANAD; ISSN: 0366-8681
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 90:186887
ED Entered STN: 12 May 1984
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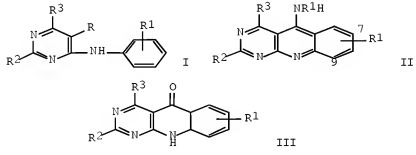
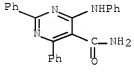


AB Cyclizing pyrimidines I (R = CN; R1 = H, R2 = R3 = Ph; R1 = H, R2 = Ph, R3 = p-tolyl, 2,4-xylyl; R1 = p-Me, o-Me, R2 = R3 = Ph; R1 = p-Me, R2 = Ph, R3 = 2,4-xylyl) with polyphosphoric acid at 180-200° gave II (R1 = H, 7-Me, 9-Me;

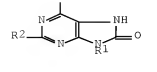
R4 = H), which were converted to II (R4 = Ac) by acetylation. Treating II (R4 = H) with H3PO4 at 150° gave III, which was also prepared by treating II (R4 = Ac) with 10% HCl at 100°. Treating I (R = CN) with polyphosphoric acid at 100° gave I (R = CONH2), which gave I (R = CN) on dehydration. Treating I (R = CONH2) with polyphosphoric acid at 180-200° gave II (R4 = H).

IT 69333-88-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
RN 69333-88-6 HCAPLUS
CN 5-Pyrimidinecarboxamide, 2,4-diphenyl-6-(phenylamino)- (CA INDEX NAME)



CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 69333-88-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
IT 69333-85-3P 69333-87-5P 69333-91-1P 69333-93-3P 69333-94-4P
69333-97-7P 69333-98-8P 69334-00-5P 69334-01-6P
69334-02-7P 69334-04-9P 69334-05-0P 69334-06-1P
69334-07-2P 69413-77-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
IT 67677-96-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(ring closure of)

L15 ANSWER 52 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1979:137763 HCAPLUS Full-text
DOCUMENT NUMBER: 90:137763
ORIGINAL REFERENCE NO.: 90:21845a,21848a
TITLE: Synthesis of 2,6,9-trisubstituted 7H-purin-8-ones
AUTHOR(S): Robev, S.
CORPORATE SOURCE: Med. Fak., Sofia, Bulg.
SOURCE: Doklady Bolgarskoi Akademii Nauk (1978), 31(9), 1131-4
CODEN: DBANAD; ISSN: 0366-8681
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 90:137763
ED Entered STN: 12 May 1984
GI



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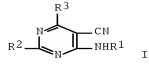


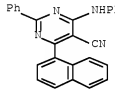
II

AB The title compds. I [R1 = (un)substituted Ph, R2 = (un)substituted Ph, 2-naphthyl; R3 = (un)substituted Ph, 1- or 2-naphthyl, 2-pyridyl] were prepared in 42-90 % yields from pyrimidinecarbonitriles II by hydration with polyphosphoric acid followed by cyclization in the presence of NaOCl-KOH. I (R1 = R2 = R3 = Ph, R1 = Ph, R2 = 4-FC6H4, R3 = 4-MeC6H4) are effective as inhibitors of Sarcoma-180 Kroker in mice at 180 mg/kg and 150 mg/kg, resp.

IT 64499-00-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydration of)

RN 64499-00-9 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(1-naphthalenyl)-2-phenyl-6-(phenylamino)- (CA INDEX NAME)




CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 64499-00-9 64499-01-0 64499-32-7 64499-41-8
64499-46-3 64530-27-4 67677-96-7
67677-97-8 69728-70-7 69728-84-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydration of)

IT 69334-68-6P 69334-02-7P 69334-06-1P
69403-77-0P 69728-73-0P 69728-74-1P
69728-75-2P 69728-76-3P 69728-77-4P
69728-78-5P 69728-86-5P 69728-87-6P
69728-88-7P 69728-89-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

IT 69728-71-8P 69728-72-9P 69728-83-2P
69728-85-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and hydration of)

L15 ANSWER 53 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

| | |
|---|---|
| ACCESSION NUMBER: | 1979:6337 HCAPLUS Full-text |
| DOCUMENT NUMBER: | 90:6337 |
| ORIGINAL REFERENCE NO.: | 90:1160h,1161a |
| TITLE: | Acylketene-S,S- and acylketene-S,N-acetals as building blocks for heterocycles: 5-cyanopyrimidines |
| AUTHOR(S): | Rudorf, W. D.; Augustin, M. |
| CORPORATE SOURCE: | Sekt. Chem., Martin-Luther-Univ., Halle/Saale, Ger. Dem. Rep. |
| SOURCE: | Journal fuer Praktische Chemie (Leipzig) (1978), 320(4), 576-84 CODEN: JPCEAO; ISSN: 0021-8383 |

DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 90:6337
ED Entered STN: 12 May 1984
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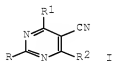


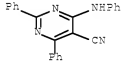
I

AB Cyanopyrimidines I (R = Me, Ph, 4-O2NC6H4, NH2, SMe; R1 = Ph, 4-BrC6H4, 4-ClC6H4, 3,4-Cl2C6H3, 2-furyl, 2-thienyl; R2 = SMe) were prepared in 56-91% yield by cyclocondensation of H2NCR:NH with R1COC(CN):C(SMe)2 in the presence of NEt3. I (R = Me, Ph, NH2, SMe, R1 = Ph, R2 = NHPh) were similarly obtained in 52-63% yield from H2NCR:NH and NCCBz:C(SMe)NHPh. I (R = Me, NH2, R1 = Ph, R2 = OEt) were obtained when H2NCR:NH was treated with NCC(COPh):C(SMe)2 in the presence of NaOEt.

IT 67677-96-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 67677-96-7 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2,4-diphenyl-6-(phenylamino)- (CA INDEX NAME)

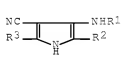


CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 67677-96-7P 68364-38-5P 68364-39-6P 68364-40-9P
68364-41-0P 68364-42-1P 68364-46-5P 68364-47-6P 68364-48-7P
68364-49-8P 68364-50-1P 68364-52-3P 68364-53-4P 68364-54-5P
68364-55-6P 68364-56-7P 68364-57-8P 68364-58-9P 68364-59-0P
68388-54-5P 68388-55-6P 68473-02-9P 68473-05-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L15 ANSWER 54 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1978:563538 HCAPLUS Full-text
DOCUMENT NUMBER: 89:163538
ORIGINAL REFERENCE NO.: 89:25349a,25352a
TITLE: Conversion of 2,6-disubstituted-4-(arylamino)-5-cyanopyrimidines to 2,5-substituted-3-(arylamino)-4-cyanopyrroles
AUTHOR(S): Robev, S.
CORPORATE SOURCE: Med. Fak., Sofia, Bulg.
SOURCE: Doklady Bolgarskoi Akademii Nauk (1978), 31(2), 197-20
CODEN: DBANAD; ISSN: 0366-8681
DOCUMENT TYPE: Journal

LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 89:163538
ED Entered STN: 12 May 1984
GI

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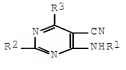
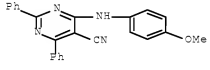



II

AB Cyanopyrroles I [R1 = Ph, 2,4-(Me)ClC6H3, 3-ClC6H4, 4-MeOC6H4, 1-C10H7, 4-BrC6H4, R2 = Ph, p-tolyl, 4-MeOC6H4, 2-C10H7, R3 = 4-BrC6H4, 2-MeOC6H4, 2,4-Me2C6H3, 2-EtOC6H4, p-tolyl 2-C10H7] were obtained in 45-80% yields by ring contraction of pyrimidines II with Zn-AcOH. II were prepared from a benzamidine and an arylmalononitrile.

IT 64499-36-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and reduction by zinc and acetic acid)

RN 64499-36-1 HCAPLUS
CN 5-Pyrimidinecarbonitrile, 4-[(4-methoxyphenyl)amino]-2,6-diphenyl- (CA INDEX NAME)

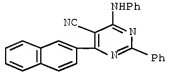



CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 27

IT 64499-36-1P 67677-97-8P 67677-98-9P
67677-99-0P 67678-00-6P 67678-01-7P
67678-02-8P 67678-03-9P 67678-04-0P 67678-05-1P
67678-06-2P 67678-07-3P 67753-59-7P
67753-60-0P 67753-61-1P 67753-62-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and reduction by zinc and acetic acid)

L15 ANSWER 55 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1978:546697 HCAPLUS Full-text
DOCUMENT NUMBER: 89:146697
ORIGINAL REFERENCE NO.: 89:22729a,22732a
TITLE: Ring contraction synthesis of 2,5-disubstituted-3-arylamino-4-cyano-pyrroles from 2,6-disubstituted-4-arylamino-5-cyanopyrimidines
AUTHOR(S): Robev, S.
CORPORATE SOURCE: Dep. Pharmacol., Fac. Med., Sofia, Bulg.
SOURCE: Tetrahedron Letters (1978), (13), 1163-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 89:146697
ED Entered STN: 12 May 1984
AB 2,5-Diaryl-3-arylamino-4-cyanopyrroles were prepared (50-80%) by ring contraction of 2,6-diaryl-4-arylamino-5-cyanopyrimidines on treatment with Zn/AcOH. E.g., 2,5-diphenyl-3-anilino-4-cyanopyrrole was obtained (72%) from 2,6-diphenyl-4-anilino-5-cyanopyrimidine.
IT 64499-01-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(ring contraction of, with zinc and acetic acid)
RN 64499-01-0 HCAPLUS
CN 5-Pyrimidinecarbonitrile, 4-(2-naphthalenyl)-2-phenyl-6-(phenylamino)-
(CA INDEX NAME)




CC 27-10 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 28
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64499-28-2 64499-32-7 64499-36-1
64499-38-3 64499-39-4 64499-41-8 64499-48-5
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67678-03-9 67678-04-0 67678-05-1 67678-06-2
67678-07-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(ring contraction of, with zinc and acetic acid)

L15 ANSWER 56 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1978:105409 HCAPLUS Full-text
DOCUMENT NUMBER: 88:105409
ORIGINAL REFERENCE NO.: 88:16545a,16548a
TITLE: Arylaminopyrimidine derivatives
INVENTOR(S): Fauran, Claude; Raynaud, Guy; Gouret, Claude; Bourgery, Guy
PATENT ASSIGNEE(S): Delalande S. A., Fr.
SOURCE: Ger. Offen., 15 pp. Addn. to Ger. Offen. 2,444,426.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 2729360 | A1 | 19780112 | DE 1977-2729360 | 19770629 |
| FR 2357252 | A2 | 19780203 | FR 1976-20775 | 19760707 |
| FR 2357252 | B2 | 19781215 | | |
| US 4041030 | A | 19770809 | US 1976-714473 | 19760816 |
| FR 2394543 | A2 | 19790112 | FR 1977-18471 | 19770616 |
| BE 855867 | A4 | 19771220 | BE 1977-178577 | 19770620 |
| GB 1548858 | A | 19790718 | GB 1977-25894 | 19770621 |
| ZA 7703740 | A | 19780530 | ZA 1977-3740 | 19770622 |

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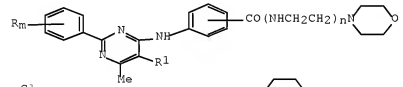
| CH 611283 | A5 | 19790531 | CH 1977-7656 | | 19770622 |
| ES 460411 | A2 | 19781001 | ES 1977-460411 | | 19770705 |
| SE 7707880 | A | 19780108 | SE 1977-7880 | | 19770706 |
| NL 7707531 | A | 19780110 | NL 1977-7531 | | 19770706 |
| JP 53012877 | A | 19780204 | JP 1977-80904 | | 19770706 |
| AU 7726808 | A | 19790111 | AU 1977-26808 | | 19770706 |
| SU 679143 | A3 | 19790805 | SU 1977-2499407 | | 19770706 |
| PRIORITY APPLN. INFO.: | | | FR 1976-20775 | A | 19760707 |
| | | | FR 1977-18471 | A | 19770616 |
| | | | FR 1974-10327 | A | 19740326 |
| | | | US 1974-502285 | A2 | 19740903 |

OTHER SOURCE(S): MARPAT 88:105409
ED Entered STN: 12 May 1984
GI

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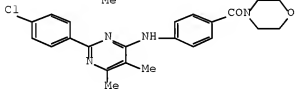


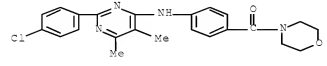

II

AB Anilinopyrimidines I (R = H, halo, C1-3 alkoxy; R1 = H, Me; n = 0, 1; m = 0-3) were prepared for use as antianoxics. Thus, 2-(p-chlorophenyl)-4- chloro-5,6-dimethylpyrimidine reacted with 4-(morpholinocarbonyl)aniline in HCl-AcOH to give 51% II. Six other I were prepared; I have antianoxic activity comparable to that of vincamine.

IT 65789-84-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antianoxic activity of)

RN 65789-84-6 HCAPLUS

CN Morpholine, 4-[4-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)




IC C07D413-12
CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 65789-83-5P 65789-84-6P 65789-85-7P 65789-86-8P
65789-87-9P 65789-88-0P 65789-89-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antianoxic activity of)

L15 ANSWER 57 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1978:22768 HCAPLUS Full-text
DOCUMENT NUMBER: 88:22768
ORIGINAL REFERENCE NO.: 88:3653a,3656a
TITLE: Production of 3,5-disubstituted 1,2,4-oxadiazoles by reaction of 2,3,6-trisubstituted 4-imino-5-cyano-3,4-dihydropyrimidines with hydroxylamine
AUTHOR(S): Robev, S.
CORPORATE SOURCE: Med. Fak., Sofia, Bulg.
SOURCE: Doklady Bolgarskoi Akademii Nauk (1977), 30(7), 1031-4
CODEN: DBANAD; ISSN: 0366-8681
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 88:22768
ED Entered STN: 12 May 1984
GI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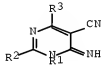

II

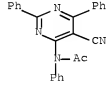
III

AB The title compds. I (R2 = Ph, p-tolyl, p-BrC6H4, 2-naphthyl; R3 = Ph, p-BrC6H4, p-O2NC6H4, 2-pyridyl, o-MeOC6H4) were obtained in 75-95% yields by boiling II (R1 = Ph, o-, p-tolyl, p-MeOC6H4, p-ClC6H4) with NH2OH 2-3 min in EtOH. Addnl. obtained were III (R2 = Ph, 2-naphthyl; R3 = Ph, 2-pyridyl, p-tolyl).

IT 65004-35-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 65004-35-5 HCAPLUS

CN Acetamide, N-(5-cyano-2,6-diphenyl-4-pyrimidinyl)-N-phenyl- (CA INDEX NAME)

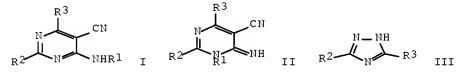


CC 28-11 (Heterocyclic Compounds (More Than One Hetero Atom))

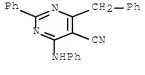
IT 888-71-1P 2039-06-7P 16151-03-4P 28825-12-9P 58598-96-2P
65004-19-5P 65004-20-8P 65004-21-9P 65004-22-0P 65004-23-1P
65004-35-5P 65004-36-6P 65004-37-7P
65004-38-8P 65034-86-8P 65229-67-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L15 ANSWER 58 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1977:567970 HCAPLUS Full-text
DOCUMENT NUMBER: 87:167970
ORIGINAL REFERENCE NO.: 87:26547a,26550a
TITLE: Production of pyrimidine derivatives by reacting aromatic N-monoaryl substituted amidines with ylidenmalononitriles
AUTHOR(S): Robev, S.
CORPORATE SOURCE: Med. Fac., Sofia, Bulg.
SOURCE: Doklady Bolgarskoi Akademii Nauk (1977), 30(5), 719-22
CODEN: DBANAD; ISSN: 0366-8681
DOCUMENT TYPE: Journal
LANGUAGE: Russian
ED Entered STN: 12 May 1984
GI



AB Fifty pyrimidinecarbonitriles I (R1, R2 = Ph, substituted Ph, R3 = Ph, substituted Ph, naphthyl, pyridyl) were obtained in 23-75% yields by cycloaddn. of R2C(:NR1)NH2 to R3CH:C(CN)2 in THF 1 week at -10°. Imino derivs. II (R1 = Ph, 2-, 4-MeC6H4, 2-MeOC6H4, 4-ClC6H4, R2 = Ph, 4-MeC6H4, 2-C10H7, R3 = Ph, 2-pyridyl, 4-O2NC6H4, 3-BrC6H4) were obtained in 12-30% yields by dehydrogenation of the corresponding amino derivative Triazoles III (R2 = Ph, 4-MeC6H4, 2-naphthyl, R3 = Ph, 2-pyridyl, 4-O2NC6H4, 3-BrC6H4) were obtained in 84-96% yields by ring contraction of II with N2H4.
IT 64498-99-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 64498-99-3 HCAPLUS
CN 5-Pyrimidinecarbonitrile, 2-phenyl-4-(phenylamino)-6-(phenylmethyl)- (CA INDEX NAME)



CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 2039-06-7P 3213-95-4P 4057-66-3P 25433-29-8P 64498-94-8P
64498-95-9P 64498-96-0P 64498-97-1P 64498-99-3P
64499-00-9P 64499-01-0P 64499-02-1P
64499-03-2P 64499-04-3P 64499-05-4P 64499-06-5P

64499-07-6P 64499-08-7P 64499-09-8P
64499-10-1P 64499-11-2P 64499-12-2P
64499-13-4P 64499-14-5P 64499-15-6P
64499-16-7P 64499-17-8P 64499-18-9P
64499-19-0P 64499-20-3P 64499-21-4P
64499-22-5P 64499-23-6P 64499-24-7P
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64499-37-2P 64499-38-3P 64499-39-4P
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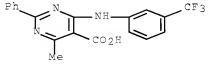
64499-44-1P 64499-45-2P 64499-46-3P
64499-47-4P 64499-48-5P 64530-27-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L15 ANSWER 59 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1975:410130 HCAPLUS Full-text
DOCUMENT NUMBER: 83:10130
ORIGINAL REFERENCE NO.: 83:1705a,1708a
TITLE: 2-Aryl-4-substituted-amino-5-pyrimidyl derivatives
INVENTOR(S): Kim, Dong H.; Santilli, Arthur A.
PATENT ASSIGNEE(S): American Home Products Corp.
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 3860596 | A | 19750114 | US 1972-285154 | 19720831 |
| PRIORITY APPLN. INFO.: | | | US 1972-285154 A | 19720831 |

ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
AB The depressant and antiinflammatory pyrimidines I [R = HO(CH2)3, m-F3CC6H4, 2,3-Me2C6H3, R1 = CO2Et, CO2H, CH2OH, R2 = H, Me] were prepared Thus, PhC(:NH)NH2 was cyclized with EtOCH2CH:C(CO2Et)2 to give Et 4-chloro-6-methyl-2-phenyl-3-pyrimidinecarboxylate, which with m-F3CC6H4NH2 followed by hydrolysis gave I (R = m-F3CC6H4, R1 = CO2H, R2 = Me) (II). At 127 mg/kg II was a central nervous system depressant and antiinflammatory at 0.09 mM.
IT 55914-58-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and depresant and antiinflammatory activity of)
RN 55914-58-4 HCAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-methyl-2-phenyl-6-[[3-(trifluoromethyl)phenyl]amino]- (CA INDEX NAME)




IC C07D

INCL 260256400N
CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 55406-03-6P 55914-58-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and depresant and antiinflammatory activity of)
IT 55406-01-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

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=> d his nofile

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                 SEL RN

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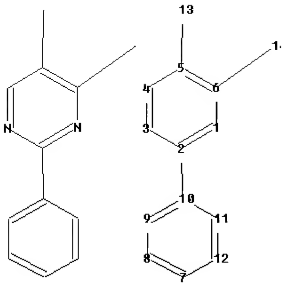


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ring/chain nodes :
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5-13 6-14
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exact/norm bonds :
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exact bonds :
2-10
normalized bonds :
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isolated ring systems :
containing 1 : 7 :

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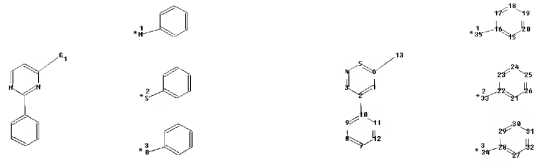

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ring bonds :
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Match level :
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L17         130 SEA ABB=ON  PLU=ON  MARTIN RICHARD/AU
L18          72 SEA ABB=ON  PLU=ON  MOHAN RAJU/AU
L19          37 SEA ABB=ON  PLU=ON  ORDENTLICH PETER/AU
L20           8 SEA ABB=ON  PLU=ON  L17 AND (L18 OR L19)
L21           8 SEA ABB=ON  PLU=ON  L18 AND L19
L22           8 SEA ABB=ON  PLU=ON  L20 OR L21
                D TI AU 1-3
                SAVE TEMP L22 JAI734MULTIN/A

     FILE 'STNGUIDE' ENTERED AT 11:19:36 ON 30 APR 2008
                D QUE L14
                D QUE L22

     FILE 'HCAPLUS, MEDLINE, BIOSIS, EMBASE, PASCAL' ENTERED AT 11:21:08 ON 30
     APR 2008
L23           4 DUP REM L14 L22 (5 DUPLICATES REMOVED)
                     ANSWER '1' FROM FILE HCAPLUS
                     ANSWERS '2-3' FROM FILE MEDLINE
                     ANSWER '4' FROM FILE BIOSIS
                D L23 1 IBIB ABS HITSTR
                D L23 2-4 IBIB AB
                D QUE L15
                D L15 IBIB ED ABS FHITSTR HITIND 1-59
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